IN THE MATTER OF an Australian Application corresponding to PCT Application PCT/EP97/05320

I, Dethard LAMPE Dipl.-Chem., PhD CChem MRSC, c/o Europa House, Marsham Way, Gerrards Cross, Buckinghamshire, England, do solemnly and sincerely declare that I am conversant with the English and German languages and am a competent translator thereof, and that to the best of my knowledge and belief the following is a true and correct translation of the PCT Application filed under No. PCT/EP97/05320.

Date: 26 March 1999

D. LAMPE

For and on behalf of RWS Group plc

# PCT WORLD ORGANISATION FOR INTELLECTUAL PROPERTY International Office INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International patent classification<sup>6</sup>: (11) International publication number: WO 98/15539 A1 [stamp] CO7D 251/18. 251/50. 251/52. 409/12. (43) International 401/12. A01N 43/68 publication date: 16 April 1998 (16.04.98) Akihiko YANAGI [JP/JP]: 214-1, Oaza-Oyama. Oyama-shi, Tochigi (JP). PCT/EP97/05320 (21) International application number: (74) Joint Representative: BAYER AKTIENGESELLSCHAFT: 29 September 1997 (22) International filing date: (29.09.97) D-51368 Leverkusen (DE). (81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ARIPO Patent (GH, KE, LS, MW, SD, SZ, UG, ZW), Eurasian Patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European Patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI Patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG). (30) Data relating to the priority: 196 41 693.0 10 October 1996 (10.10.96) DF (71) Applicant (for all designated States except US): BAYER AKTIENGESELLSCHAFT [DE/DE]: D-51368 Leverkusen (DE). NIHON BAYER AGROCHEM K.K. [JP/JP]: 10-8. Takanawa 4-chome. Minato-ku. Ťokyo 108 (JP). (72) Inventors: and
(75) Inventors/Applicants (US only): Hans-Jochem RIEBEL
[DE/DE]: In der Beek 92. D-42113 Wuppertal (DE).
Stefan LEHR [DE/DE]: Am Benthal 54. D-51381
Leverkusen (DE). Uwe STELZER [DE/DE]: AdolfKolping-Strasse 22a. D-51399 Burscheid (DE). TD, TG). Published: Yukiyoshi WATANABE [JP/JP]: 2-8-24. Hanagaki-cho. Oyama-shi. Tochigi 323 (JP). Markus DOLLINGER With the International Search Report. Before expiry of the period provided for amending Oyama-shi, lochigi 323 (JP). Markus DULLINGER [DE/DE]: Burscheider Strasse 154b, D-51381 Lever-kusen (DE). Peter DAHMEN [DE/DE]: Altebrückerstrasse 63, D-41470 Neuss (DE). Ito SEISHI [JP/JP]: 2-12-3, Johtoh. Oyama-shi, Tochigi (JP). Toshio GOTO [JP/JP]: 214-18, Koganei, Kokubunjimachi, Shimotsuga-gun, Tochigi 329-04 (JP). the claims. Further publication will made if such amendments are received.

#### As printed

- (54) Title: SUBSTITUTING 2-AMINO-4-ALKYLAMINO-1,3,5-TRIAZINE AS HERBICIDE
- (54) Bezeichnung: SUBSTITUIERTE 2-AMINO-4-ALKYLAMINO-1,3,5-TRIAZINE ALS HERBIZIDE

#### (57) Abstract

The invention relates to a novel substituted 2-amino-4-alkylamino-1,3,5-triazine of the general formula (I), in which R<sup>1</sup> stands for optionally substituted methyl, R<sup>2</sup> stands for hydrogen or alkyl, Y for substituted benzyl, naphthylmethyl, heterocyclymethyl or heterocyclyoxy respectively, and Z for hydrogen, halogen, or for optionally substituted alkyl, alkoxy, alkylcarbonyl, alkoxycarbonyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkenyl or alkinyl respectively. Disclosed is also a method and new intermediate products

$$Z \stackrel{N \cap 2}{\downarrow}_{N} \stackrel{R^{1}}{\downarrow}_{H} \stackrel{Y}{\downarrow}_{R^{2}}$$
 (i)

or alkinyl respectively. Disclosed is also a method and new intermediate products for producing the new compounds and their use as herbicides.

#### (57) Zusammenfassung

Die Erfindung betrifft neue substituierte 2-Amino-4-alkylamino-1,3,5-triazine der Formel (1), in welcher R<sup>1</sup> für gegebenenfalls substituiertes Methyl steht, R<sup>2</sup> für Wasserstoff oder Alkyl steht, Y für jeweils gegebenenfalls substituiertes Benzyl, Naphthylmethyl, Heterocyclylmethyl oder Heterocyclylocy steht, und Z für Wasserstoff, für Halogen oder für jeweils gegebenenfalls substituiertes Alkyl, Alkox, Alkylcarbonyl, Alkoxycarbonyl, Alkylthio, Alkylsulfinyl, Alkylsulfonyl, Alkenyl oder Alkinyl steht, Verfahren und neue Zwischenprodukte zu ihrer Herstellung und ihre Verwendung als Herbizide.

#### ONLY FOR INFORMATION

Codes used to identify the PCT member States on the flyleaves of the brochures in which international applications made under the PCT are published.

	A36		linabtanatain
AL	Albania	LI LK	Liechtenstein Sri Lanka
AM	Armenia	LR LR	Liberia
AT	Austria	LK LS	
AU	Australia		Lesotho
AZ	Azerbaidjan	LT	Lithuania
BA	Bosnia-Herzegovina	LU	Luxembourg
BB	Barbados	LV	Latvia
BE	Belgium _	MC	Monaco
BF	Burkina Fasso	MD	Republic of Moldova
BG	Bulgaria	MG	Madagascar
BJ	Benin_	.MK	Former Yugoslav Republic
BR	Brazil		of Macedonia
.BY	Belarus	ML	Mali
CA	Canada	MN	Mongolia
CF	Central African Republic	MR	Mauritania
CG	Congo	MW	Malawi
CH	Switzerland	MX	Mexico
CI	Ivory Coast	NE	Niger
CM	Cameroon	NL	Netherlands
CN	China	NO	Norway
CU	Cuba	NŽ	New Zealand
ČŽ	Czech Republic	PL	Poland
DĒ	Germany	PŤ	Portugal
DK	Denmark	RO	Romania
ĒĒ	Estonia	RU	Russian Federation
ĒŠ	Spain	SD	Sudan
FΪ	Finland	ŠĒ	Sweden
FŔ	France	SG	Singapore
GA	Gabon	SI	Slovenia
GB	United Kingdom	SK	Slovakia
GE	Georgia	SN	Senegal
GH	Ghana	SZ	Swaziland
GN		32 TD	Chad
	Guinea		•···•
GR	Greece	ŢĢ	Togo
ĤΩ	Hungary	ŢJ	Tajikistan
ΙE	Ireland	<u>TH</u>	Turkmenistan
ĬĹ	Israel	<u>TR</u>	Turkey
<u> 15</u>	Iceland	Π	Trinidad and Tobago
ΙΤ	Italy	UĄ	Ukraine
JP	Japan	UG	Uganda
KE	Kenya	US	United States of America
KG	Kyrghyzstan	UZ	Uzbekistan
KP	Democratic People's	VN	Vietnam
	Republic of Korea	YÜ	Yugoslavia
KR	Republic of Korea	ŻW	Zimbabwe
ΚZ	Kazakhstan	_,-	
ĹĊ	Saint Lucia		

SUBSTITUTED

#### 2-AMINO-4-ALKYLAMINO-1,3,5-TRIAZINES

AS

**HERBICIDES** 

The invention relates to novel substituted 2-amino-4-alkylamino-1,3,5-triazines, to a plurality of processes and to novel intermediates for their preparation and to their use as herbicides.

A number of substituted 2,4-diamino-triazines is already known from the (patent) literature (cf. US 3816419, US 3932167, EP 191496, EP 273328, EP 411153 / WO 90/09378, WO 97/00254, WO 97/08156). However, these compounds have hitherto not attained any particular importance.

This invention, accordingly, provides the novel substituted 2-amino-4-alkylamino-1,3,5-triazines of the general formula (I)

15

$$Z \xrightarrow{NH_{2}} N \xrightarrow{R^{1}} Y$$

$$Z \xrightarrow{N} N \xrightarrow{R} N \xrightarrow{R} R^{2}$$

$$(I)$$

in which

- 20 R<sup>1</sup> represents optionally substituted methyl,
  - R<sup>2</sup> represents hydrogen or alkyl,
- Y represents in each case optionally substituted benzyl, naphthylmethyl, heterocyclylmethyl or heterocyclyloxy, and

- Z represents hydrogen, represents halogen or represents in each case optionally substituted alkyl, alkoxy, alkylcarbonyl, alkoxycarbonyl, alkylthio, alkylsulphinyl, alkylsulphonyl, alkenyl or alkinyl.
- 5 The novel 2-amino-4-alkylamino-1,3,5-triazines of the general formula (I) are obtained when
  - (a) substituted biguanides of the general formula (II),

10

in which

R<sup>1</sup>, R<sup>2</sup> and Y are each as defined above

- and/or acid adducts of compounds of the general formula (II) -

are reacted with alkoxycarbonyl compounds of the general formula (III)

$$Z$$
-CO-OR' (III)

20

in which

- Z is as defined above and
- 25 R' represents alkyl,

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent, or when

(b) substituted triazines of the general formula (IV)

5

$$Z \xrightarrow{X^{1}} N \xrightarrow{R^{1}} Y \qquad (IV)$$

in which

10

 $R^1$ ,  $R^2$ , Y and Z are each as de

are each as defined above and

 $\mathbf{X}^{\mathbf{1}}$ 

represents halogen or alkoxy

are reacted with ammonia, if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

or when

20 (c) substituted aminotriazines of the general formula (V),

$$Z \xrightarrow{N} X^{2} X^{2}$$

$$(V)$$

in which

- Z is as defined above and
- x<sup>2</sup> represents halogen or alkoxy
- 5 are reacted with substituted alkylamines of the general formula (VI),

$$H_2N \xrightarrow{R^1} Y$$
 (VI)

in which

10

R<sup>1</sup>, R<sup>2</sup> and Y are each as defined above,

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

15

25

and, if appropriate, further conversions within the scope of the above definition of substituents are carried out by customary methods on the compounds of the general formula (I) obtained by the processes described under (a), (b) or (c).

The novel substituted 2-amino-4-alkylamino-1,3,5-triazines of the general formula (I) have strong and selective herbicidal activity.

The compounds of the general formula (I) according to the invention contain at least one asymmetrically substituted carbon atom and can therefore be present in different enantiomeric (R- and S-configured forms) or diastereomeric forms. The invention relates both to the different possible individual enantiomeric or stereoisomeric forms of the compounds of the general formula (I), and to the mixtures of these isomeric compounds.

In the definitions, the hydrocarbon chains, such as alkyl - also in combination with heteroatoms, such as in alkoxy or alkylthio - are in each case straight-chain or branched.

5 Halogen generally represents fluorine, chlorine, bromine or iodine, preferably represents fluorine, chlorine or bromine, and in particular represents fluorine or chlorine.

The invention preferably provides compounds of the formula (I) in which

10

- $R^1$  represents optionally halogen-, cyano-, carboxyl-, carbamoyl-, thiocarbamoyl- or  $C_1$ - $C_4$ -alkoxy-substituted methyl,
- R<sup>2</sup> represents hydrogen or alkyl having 1 to 3 carbon atoms,

15

- Y represents in each case optionally substituted benzyl, naphthylmethyl, heterocyclylmethyl or heterocyclyloxy,
- where the possible heterocyclyl groupings are preferably selected from the group below:

furyl, benzofuryl, dihydrobenzofuryl, tetrahydrofuryl, thienyl, benzothienyl, thiazolyl, benzothiazolyl, oxazolyl, benzoxazolyl, thiadiazolyl, oxadiazolyl, pyrazolyl, pyrrolyl, indolyl, pyridinyl, quinolinyl, isoquinolinyl and pyrimidinyl,

25

and where the possible substituents are in each case preferably selected from the group below:

30

hydroxyl, cyano, nitro, halogen, in each case optionally hydroxyl-, cyano- or halogen-substituted alkyl or alkoxy having in each case 1 to 6 carbon atoms, in each case optionally halogen-substituted alkylcarbonyl, alkoxycarbonyl,

alkylthio, alkylsulphinyl or alkylsulphonyl having in each case 1 to 6 carbon atoms in the alkyl groups, in each case optionally hydroxyl-, cyano-, nitro-, halogen-, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl-, C<sub>1</sub>-C<sub>4</sub>-alkoxy- or C<sub>1</sub>-C<sub>4</sub>-halogenoalkoxy-substituted phenyl or phenoxy, and also in each case optionally halogen-substituted methylenedioxy or ethylenedioxy,

and

5

30

z represents hydrogen, represents halogen, represents in each case optionally hydroxyl-, cyano-, nitro-, halogen-, C<sub>1</sub>-C<sub>4</sub>-alkoxy-, C<sub>1</sub>-C<sub>4</sub>-alkyl-carbonyl-, C<sub>1</sub>-C<sub>4</sub>-alkoxy-carbonyl-, C<sub>1</sub>-C<sub>4</sub>-alkylthio-, C<sub>1</sub>-C<sub>4</sub>-alkylsulphinyl- or C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl-substituted alkyl, alkoxy, alkylcarbonyl, alkoxycarbonyl, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case 1 to 6 carbon atoms in the alkyl groups, or represents optionally halogen-substituted alkenyl or alkinyl having in each case 2 to 6 carbon atoms.

From among the compounds of the formula (I) defined above as preferred ("preferably"), particular emphasis is given to the following groups:

- 20 (A) the compounds of the formula (I) in which R<sup>1</sup>, R<sup>2</sup> and Z are each as defined above and Y represents in each case optionally substituted benzyl or naphthylmethyl, the possible substituents being as defined above;
- (B) the compounds of the formula (I) in which R<sup>1</sup>, R<sup>2</sup> and Z are each as defined above and Y represents in each case optionally substituted heterocyclylmethyl or heterocyclyloxy, the possible heterocyclyl groupings and the possible substituents being as defined above.

The invention in particular relates to compounds of the formula (I) in which

R<sup>1</sup> represents optionally fluorine- and/or chlorine-substituted methyl,

R<sup>2</sup> represents hydrogen, methyl or ethyl,

Y represents in each case optionally substituted benzyl, naphthylmethyl, heterocyclylmethyl or heterocyclyloxy,

5

where the possible heterocyclyl radicals are preferably selected from the group below:

10

furyl, benzofuryl, dihydrobenzofuryl, tetrahydrofuryl, thienyl, benzothienyl, thiazolyl, benzothiazolyl, oxazolyl, benzoxazolyl, thiadiazolyl, oxadiazolyl, pyrazolyl, pyrrolyl, indolyl, pyridinyl, quinolinyl, isoquinolinyl and pyrimidinyl,

15

and where the possible substituents are in each case preferably selected from the group below:

~

20

25

hydroxyl, cyano, nitro, fluorine, chlorine, bromine, in each case optionally hydroxyl- cyano-, fluorine- or chlorine-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, methoxy, ethoxy, n- or i-propoxy, n-, i-, s- or t-butoxy, in each case optionally fluorine- or chlorine-substituted acetyl, propionyl, n- or i-butyroyl, methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl, methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, in each case optionally hydroxyl-, cyano-, nitro-, fluorine-, chlorine-, bromine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-, trifluoromethyl-, methoxy-, ethoxy-, n- or i-propoxy-, n-, i-, s- or t-butoxy-, difluoromethoxy- or trifluoromethoxy-substituted phenyl or phenoxy, and also in each case optionally fluorine- or chlorine-substituted methylenedioxy or ethylenedioxy,

30

and

represents hydrogen, represents fluorine, chlorine, bromine, represents in each case optionally hydroxyl-, cyano-. nitro-. fluorine-, chlorine-, methoxy-, ethoxy-, n- or i-propoxy-, n-, i-, s- or t-butoxy-, methylthio-ethylthio-, n- or i-propylthio-, methylsulphinyl-, ethylsulphinyl-, n- or i-propylsulphonyl-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, methoxy, ethoxy, n- or i-propoxy, n-, i-, s- or t-butoxy, methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, or represents in each case optionally fluorine-, chlorine- or bromine-substituted ethenyl, propenyl, butenyl, ethinyl, propinyl or butinyl.

5

10

15

20

25

From among the compounds of the formula (I) defined above as being particularly preferred, particular emphasis is given to the following groups:

(AA) the compounds of the formula (I) in which R<sup>1</sup>, R<sup>2</sup> and Z are each as defined above and Y represents in each case optionally substituted benzyl or naphthylmethyl, the possible substituents being as defined above, with the proviso that the substituents of the carbon atom to which R<sup>1</sup> is attached are arranged in the R configuration;

(BB) the compounds of the formula (I) in which R<sup>1</sup>, R<sup>2</sup> and Z are each as defined above and Y represents in each case optionally substituted benzyl or naphthylmethyl, the possible substituents being as defined above, with the proviso that the substituents of the carbon atom to which R<sup>1</sup> is attached are arranged in the S configuration;

(CC) the compounds of the formula (I) in which R<sup>1</sup>, R<sup>2</sup> and Z are each as defined above and Y represents in each case optionally substituted furylmethyl, thienylmethyl, pyridinylmethyl or pyrimidinylmethyl, the possible substituents being

as defined above, with the proviso that these compounds are present as racemic mixtures;

(DD) the compounds of the formula (I) in which R<sup>1</sup>, R<sup>2</sup> and Z are each as defined above and Y represents in each case optionally substituted furylmethyl, thienylmethyl, pyridinylmethyl or pyrimidinylmethyl, the possible substituents being as defined above, with the proviso that the substituents of the carbon atom to which R<sup>1</sup> is attached are arranged in the R configuration;

10 (EE) the compounds of the formula (I), in which R<sup>1</sup>, R<sup>2</sup> and Z are each as defined above and Y represents in each case optionally substituted furylmethyl, thienylmethyl, pyridinylmethyl or pyrimidinylmethyl, the possible substituents being as defined above, with the proviso that the substituents of the carbon atom to which R<sup>1</sup> is attached are arranged in the S configuration;

15

20

5

The abovementioned general or preferred radical definitions apply both to the end products of the formula (I) and also, correspondingly, to the starting materials or intermediates required in each case for the preparation. These radical definitions can be combined with each other at will, i.e. including combinations between the abovementioned preferred ranges.

Examples of the compounds of the formula (I) according to the invention are listed in the groups below. The general formulae here represent in each case the R enantiomers, the S enantiomers and the racemates.

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} (I-1)$$

5 Here, Z has, for example, the meanings given below:

Hydrogen, methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, chlorofluoromethyl, chlorobromomethyl, chlorodifluoromethyl, fluorodichloromethyl, bromodifluoromethyl, trichloromethyl, 1-fluoro-ethyl, 2-fluoro-ethyl, 1-chloro-ethyl, 10 2-chloro-ethyl, 1-chloro-1-fluoro-ethyl, 1-fluoro-propyl, 2-fluoro-propyl, 3-fluoropropyl, 1-fluoro-1-methyl-ethyl, 2-fluoro-1-methyl-ethyl, 1-chloro-1-methyl-ethyl, 1fluoro-1-methyl-propyl, 1-chloro-1-ethyl-propyl, 1-fluoro-1-ethyl-propyl, 1-chloro-1ethyl-propyl, 1-fluoro-2-methyl-propyl, 1-chloro-2-methyl-propyl, 1-chloro-propyl, 2-chloro-propyl, 3-chloro-propyl, 1-chloro-1-methyl-ethyl, 2-chloro-1-methyl-ethyl, 15 1,1-difluoro-ethyl, 1,2-difluoro-ethyl, 1,1-dichloro-ethyl, 2,2,2-trifluoro-ethyl, 1,2,2,2-tetrafluoro-ethyl, perfluoroethyl, 1,1-difluoro-propyl, 1,1-dichloro-propyl, perfluoropropyl, 1-fluoro-butyl, 1-chloro-butyl, perfluoropentyl, perfluorohexyl, 1hydroxyl-ethyl, acetyl, 1,1-bis-acetyl-methyl, 1-acetyl-1-methoxycarbonyl-methyl, 1-20 acetyl-1-ethoxycarbonyl-methyl, methoxymethyl, 1,1-dimethoxy-methyl, 1-methoxyethyl, 2-methoxy-ethyl, 1,1-dimethoxy-ethyl, ethoxymethyl, 1-ethoxyethyl, 2-ethoxyethyl, 2-methoxy-1-methyl-ethyl, 2-methoxy-1-ethyl-ethyl, 2-ethoxy-1-methyl-ethyl, 2-ethoxy-1-ethyl-ethyl, methylthiomethyl, ethylthiomethyl, 1-methylthio-ethyl, 2-2-ethylthioethyl, methylsulphinylmethyl, methylthioethyl, 1-ethylthio-ethyl, 25 ethylsulphinylmethyl, methylsulphonylmethyl, ethylsulphonylmethyl, methoxy, ethoxy, n- or i- propoxy, methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, methylsulphonyl, ethylsulphonyl, fluoromethoxy, difluoromethoxy, trifluoromethoxy, fluoroethoxy, difluoroethoxy, trifluoroethoxy, difluoromethylthio, trifluoromethylthio, vinyl, 1-chloro-vinyl, 2-chloro-vinyl, 1-fluoro-vinyl, 2-fluorovinyl, 1-bromo-vinyl, 2-bromo-vinyl, 1,2-dichloro-vinyl, 1,2-dibromo-vinyl, 1,2-difluoro-vinyl, 2,2-dichloro-vinyl, 2,2-difluoro-vinyl, 2,2-dibromo-vinyl, 1-chloro-2-fluoro-vinyl, 2-bromo-2-chloro-vinyl, trichlorovinyl, allyl, 2-chloro-allyl, 3-chloro-allyl, 3,3-dichloro-allyl, 1-propenyl, isopropenyl, 1-chloro-2-propenyl, 1-fluoro-2-propenyl, 1-bromo-2-propenyl, 1,2-dichloro-1-propenyl, 1,2-dibromo-i-propenyl, 1,2-difluoro-1-propenyl, 1,1-dichloro-2-propenyl, 1,1-dibromo-2-propenyl, 1,1-difluoro-2-propenyl, 2-buten-1-yl, 2-buten-2-yl, 3-chloro-2-butenyl, 3-bromo-2-butenyl, 3,3,3-trifluoro-2-butenyl, ethinyl, 2-chloro-ethinyl, 2-bromo-ethinyl, 1-propinyl, 2-propinyl, 3,3,3-trifluoro-1-propinyl.

10

5

#### Group 2

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} F$$

$$Z \xrightarrow{N} N \xrightarrow{H} (I-2)$$

Here, Z has, for example, the meanings given above in group 1.

#### Group 3

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CI$$

$$Z \xrightarrow{N} N \xrightarrow{H} N \xrightarrow{I} (I-3)$$

20

5 Here, Z has, for example, the meanings given above in group 1.

#### Group 5

$$Z \xrightarrow{NH_2} N \xrightarrow{CH_3} NO_2$$

$$Z \xrightarrow{N} N \xrightarrow{H} (I-5)$$

10

Here, Z has, for example, the meanings given above in group 1.

#### Group 6

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CH_{3}$$

$$Z \xrightarrow{N} N \xrightarrow{H} (I-6)$$

15

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CF_{3}$$

$$Z \xrightarrow{N} N \xrightarrow{H} N \xrightarrow{CH_{3}} (I-7)$$

5 Here, Z has, for example, the meanings given in group 1.

#### Group 8

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} OCH_{3}$$

$$Z \xrightarrow{N} N \xrightarrow{H} (I-8)$$

10

Here, Z has, for example. the meanings given in group 1.

#### Group 9

$$Z \xrightarrow{NH_2} N \xrightarrow{CH_3} OCHF_2$$

$$Z \xrightarrow{N} N \xrightarrow{H} (I-9)$$

15

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} OCF_{3}$$

$$Z \xrightarrow{N} N \xrightarrow{I} N \xrightarrow{I} (I-10)$$

5 Here, Z has, for example, the meanings given in group 1.

### Group 11

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} COOCH_{3}$$

$$Z \xrightarrow{N} N \xrightarrow{H} N \xrightarrow{H} (I-11)$$

10

Here, Z has, for example. the meanings given in group 1.

### Group 12

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} COOC_{2}H_{5}$$

$$Z \xrightarrow{N} N \xrightarrow{H} N \xrightarrow{H} (I-12)$$

15

5 Here, Z has, for example, the meanings given in group 1.

#### Group 14

10

Here, Z has, for example. the meanings given in group 1.

### Group 15

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} SO_{2}CH_{3}$$

$$Z \xrightarrow{N} N \xrightarrow{H} (I-15)$$

15

$$Z \stackrel{\text{NH}_2}{\nearrow} N \stackrel{\text{CH}_3}{\nearrow} F$$
(I-16)

5 Here, Z has, for example, the meanings given above in group 1.

#### Group 17

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CI$$

$$Z \xrightarrow{N} N \xrightarrow{N} N \xrightarrow{CH_{3}} CI$$

$$(I-17)$$

10

Here, Z has, for example, the meanings given above in group 1.

### Group 18

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} Br \qquad (I-18)$$

15

$$Z \xrightarrow{NH_{2}} N \xrightarrow{N} CH_{3} CH_{3}$$

$$Z \xrightarrow{N} N \xrightarrow{I} N \xrightarrow{I} NO_{2} (I-19)$$

5 Here, Z has, for example, the meanings given above in group 1.

### Group 20

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CH_{3}$$
 (I-20)

10

Here, Z has, for example, the meanings given above in group 1.

### Group 21

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CF_{3}$$
 (I-21)

15

$$Z \xrightarrow{NH_{2}} N \xrightarrow{N} CH_{3} CH_{3}$$

$$Z \xrightarrow{N} N \xrightarrow{N} H CH_{3} CH_{3}$$

$$(I-22)$$

Here, Z has, for example, the meanings given above in group 1.

### Group 23

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} (I-23)$$

10

Here, Z has, for example, the meanings given above in group 1.

# Group 24

$$Z \stackrel{NH_2}{\downarrow} N \stackrel{CH_3}{\downarrow} CI$$

15

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CH_{3}$$

$$Z \xrightarrow{N} N \xrightarrow{H} N \xrightarrow{CH_{3}} Br \qquad (I-25)$$

5 Here, Z has, for example, the meanings given above in group 1.

# Group 26

$$Z \xrightarrow{NH_{2}} N \xrightarrow{N} CH_{3}$$

$$Z \xrightarrow{N} N \xrightarrow{N} N \xrightarrow{N} N \xrightarrow{N} NO_{2}$$
(I-26)

10

Here, Z has, for example, the meanings given above in group 1.

### Group 27

$$Z \xrightarrow{NH_2} N \xrightarrow{N} CH_3$$
 $Z \xrightarrow{N} N \xrightarrow{N} CH_3$ 
 $CH_3$ 
 $CH_3$ 

15

$$Z \stackrel{\text{NH}_2}{\longrightarrow} N \stackrel{\text{CH}_3}{\longrightarrow} CF_3$$
 (I-28)

5 Here, Z has, for example, the meanings given above in group 1.

### Group 29

10

Here, Z has, for example, the meanings given above in group 1.

# Group 30

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CH_{3}$$

$$Z \xrightarrow{N} N \xrightarrow{N} N \xrightarrow{CH_{3}} OCHF_{2}$$
(I-30)

15

$$Z \stackrel{\text{NH}_2}{\longrightarrow} N \stackrel{\text{CH}_3}{\longrightarrow} OCF_3$$
 (I-31)

5 Here, Z has, for example, the meanings given above in group 1.

### Group 32

$$Z \stackrel{\text{NH}_2}{\longrightarrow} N \stackrel{\text{CH}_3}{\longrightarrow} COOCH_3$$
 (I-32)

10

Here, Z has, for example, the meanings given above in group 1.

### Group 33

$$Z \stackrel{\text{NH}_2}{\longrightarrow} N \stackrel{\text{CH}_3}{\longrightarrow} COOC_2H_5$$
 (I-33)

15

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CH_{3}$$

$$Z \xrightarrow{N} N \xrightarrow{N} H \xrightarrow{N} SCH_{3}$$
(I-34)

5 Here, Z has, for example, the meanings given above in group 1.

# Group 35

$$Z \stackrel{\text{NH}_2}{\downarrow} N \stackrel{\text{CH}_3}{\downarrow} N \stackrel{\text{CH}_3}{\downarrow} SOCH_3$$
 (I-35)

Here, Z has, for example, the meanings given above in group 1.

#### Group 36

$$Z \stackrel{\text{NH}_2}{\downarrow} N \stackrel{\text{CH}_3}{\downarrow} N \stackrel{\text{CH}_3}$$

15

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CI$$

$$Z \xrightarrow{N} N \xrightarrow{H} CH_{3}$$

$$CI$$

$$CI$$

$$CI$$

Here, Z has, for example, the meanings given above in group 1.

5

# Group 38

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CI$$

$$Z \xrightarrow{N} N \xrightarrow{N} N \xrightarrow{CH_{3}} CI$$

$$(I-38)$$

Here, Z has, for example, the meanings given above in group 1.

#### Group 39

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CI$$

$$Z \xrightarrow{N} N \xrightarrow{H} CH_{3} CI$$

$$CI$$

$$CI$$

$$CI$$

15

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CI$$

$$Z \xrightarrow{N} N \xrightarrow{H} CH_{3} CI$$

$$CI$$

$$CI$$

$$CI$$

$$CI$$

Here, Z has, for example, the meanings given above in group 1.

# Group 41

5

10

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CI$$

$$Z \xrightarrow{N} N \xrightarrow{I} N \xrightarrow{CH_{3}} CI$$

$$I - 41)$$

Here, Z has, for example, the meanings given above in group 1.

#### Group 42

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} F$$

$$Z \xrightarrow{N} N \xrightarrow{H} F$$

$$(I-42)$$

$$Z \xrightarrow{NH_2} N \xrightarrow{R} CH_3 \xrightarrow{F} (I-43)$$

5 Here, Z has, for example, the meanings given above in group 1.

#### Group 44

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} F$$

$$Z \xrightarrow{N} N \xrightarrow{H} N \xrightarrow{H} (I-44)$$

10

Here, Z has, for example, the meanings given above in group 1.

#### Group 45

$$Z \stackrel{NH_2}{\downarrow} N \stackrel{CH_3}{\downarrow} F$$
 $I - 45$ 

15

$$Z$$
 $N$ 
 $N$ 
 $N$ 
 $CH_3$ 
 $F$ 
 $(I-46)$ 

5 Here, Z has, for example, the meanings given above in group 1.

#### Group 47

$$Z \stackrel{\text{NH}_2}{\longrightarrow} N \stackrel{\text{CH}_3}{\longrightarrow} F$$
 $Z \stackrel{\text{II}}{\longrightarrow} N \stackrel{\text{CH}_3}{\longrightarrow} F$ 
 $Z \stackrel{\text{CI}}{\longrightarrow} N \stackrel{\text{CI}}{\longrightarrow}$ 

10

Here, Z has, for example, the meanings given above in group 1.

### Group 48

$$Z$$
 $N$ 
 $N$ 
 $N$ 
 $CH_3$ 
 $CI$ 
 $F$ 
 $(I-48)$ 

15

$$Z \stackrel{\text{NH}_2}{\downarrow} N \stackrel{\text{CH}_3}{\downarrow} CH_3$$
 $Z \stackrel{\text{CH}_3}{\downarrow} CH_3$ 
 $Z \stackrel{\text{CH}_3}{\downarrow} CH_3$ 
 $Z \stackrel{\text{CH}_3}{\downarrow} CH_3$ 
 $Z \stackrel{\text{CH}_3}{\downarrow} CH_3$ 

5 Here, Z has, for example, the meanings given above in group 1.

### Group 50

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CH_{3}$$

$$CH_{3} CH_{3}$$

$$CH_{3}$$

10

Here, Z has, for example, the meanings given above in group 1.

### Group 51

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CH_{3}$$

$$CH_{3} CH_{3}$$

$$CH_{3}$$

$$CH_{3}$$

$$CH_{3}$$

15

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CH_{3}$$

$$CH_{3}$$

$$CH_{3}$$

$$CH_{3}$$

$$CH_{3}$$

$$CH_{3}$$

$$CH_{3}$$

$$CH_{3}$$

5 Here, Z has, for example, the meanings given above in group 1.

#### Group 53

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CH_{3}$$

$$Z \xrightarrow{N} N \xrightarrow{H} CI$$

$$(I-53)$$

10

Here, Z has, for example, the meanings given above in group 1.

#### Group 54

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CH_{3}$$

$$Z \xrightarrow{N} N \xrightarrow{H} N \xrightarrow{CH_{3}} (I-54)$$

15

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} F$$

$$Z \xrightarrow{N} N \xrightarrow{H} CH_{3} F$$

$$CH_{3} CH_{3}$$

$$CH_{3}$$

5 Here, Z has, for example, the meanings given above in group 1.

### Group 56

$$Z \xrightarrow{NH_2} N \xrightarrow{CH_3} F$$
 $Z \xrightarrow{N} N \xrightarrow{H} CH_3 F$ 
 $CH_3 \xrightarrow{CH_3} CH_3$ 
 $CH_3 \xrightarrow{CH_3} CH_3$ 

10

Here, Z has, for example, the meanings given above in group 1.

### Group 57

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CH_{3}$$

$$Z \xrightarrow{N} N \xrightarrow{I} H \qquad (I-57)$$

15

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} S \qquad (I-58)$$

5 Here, Z has, for example, the meanings given above in group 1.

### Group 59

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} S$$
 (I-59)

10

Here, Z has, for example, the meanings given above in group 1.

### Group 60

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} V \xrightarrow{N} (I-60)$$

15

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} N \xrightarrow{(I-61)}$$

5 Here, Z has, for example, the meanings given above in group 1.

# Group 62

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} N \xrightarrow{CH_{3}} N \xrightarrow{(I-62)} N$$

10

Here, Z has, for example, the meanings given above in group 1.

### Group 63

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CH_{3}$$

$$Z \xrightarrow{N} N \xrightarrow{N} N \xrightarrow{I} N \qquad (I-63)$$

15

Here, Z has, for example, the meanings given above in group 1.

# Group 64

20

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} O \xrightarrow{S} (I-64)$$

Here, Z has, for example, the meanings given above in group 1.

### 5 <u>Group 65</u>

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} O \xrightarrow{N} CF_{3}$$
 (I-65)

Here, Z has, for example, the meanings given above in group 1.

10

#### Group 66

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CH_{3}$$

$$Z \xrightarrow{N} N \xrightarrow{N} N \xrightarrow{CH_{3}} CH_{3}$$
(I-66)

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} C \xrightarrow{N} C(CH_{3})_{3}$$
 (I-67)

5 Here, Z has, for example, the meanings given above in group 1.

### Group 68

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} O \xrightarrow{(I-68)}$$

10

Here, Z has, for example, the meanings given above in group 1.

### Group 69

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} O \xrightarrow{(I-69)}$$

15

## Group 70

5 Here, Z has, for example, the meanings given above in group 1.

## Group 71

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} O \xrightarrow{O} O$$
 (I-71)

10

Here, Z has, for example, the meanings given above in group 1.

## Group 72

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CH_{3} \qquad (I-72)$$

15

Here, Z has, for example, the meanings given above in group 1.

# Group 73

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} CH_{3}$$

$$Z \xrightarrow{N} N \xrightarrow{H} CH_{3}$$

$$C_{2}H_{5}$$
(I-73)

5 Here, Z has, for example, the meanings given above in group 1.

## Group 74

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CF_{3}} (I-74)$$

10

Here, Z has, for example, the meanings given above in group 1.

## Group 75

$$Z \xrightarrow{NH_{2}} N \xrightarrow{CH_{3}} O \qquad (I-75)$$

15

Here, Z has, for example, the meanings given above in group 1.

### Group 76

$$Z \xrightarrow{NH_{2}} N \xrightarrow{N} CH_{3}$$

$$Z \xrightarrow{N} N \xrightarrow{N} N \xrightarrow{N} O$$
(1-76)

5 Here, Z has, for example, the meanings given above in group 1.

Using, for example, 1-(1-methyl-3-phenyl-propyl)-biguanide and methyl trifluoroacetate as starting materials, the course of the reaction in the process (a) according to the invention can be illustrated by the following equation:

10

15

Using, for example, 2-chloro-4-(1-methyl-3-phenyl-propylamino)-6-trifluoromethyl-1,3,5-triazine and ammonia as starting materials, the course of the reaction in the process (b) according to the invention can be illustrated by the following equation:

$$F_{3}C \xrightarrow{N} N \xrightarrow{N} CH_{3} \xrightarrow{+ NH_{3}} -HCI \qquad F_{3}C \xrightarrow{N} N \xrightarrow{N} CH_{3}$$

Using, for example, 2-amino-4-methoxy-6-trifluoromethyl-1,3,5-triazine and 3-20 phenyl-1-trifluoromethyl-propylamine as starting materials, the course of the reaction in the process (c) according to the invention can be illustrated by the following equation:

The formula (II) provides a general definition of the substituted biguanides to be used as starting materials in the process (a) according to the invention for preparing compounds of the formula (I). In the formula (II),  $R^1$ ,  $R^2$  and Y each preferably or in particular have those meanings which have already been mentioned above, in connection with the description of the compounds of the formula (I) according to the invention, as being preferred or as being particularly preferred for  $R^1$ ,  $R^2$  and Y.

10

15

20

25

5

Examples of the substituted biguanides of the formula (II) which may be mentioned are:

1-(1-methyl-3-phenyl-propyl)-, 1-(1,2-dimethyl-3-phenyl-propyl)-, 1-(1-methyl-3-(2fluoro-phenyl)-propyl)-, 1-(1-methyl-3-(3-fluoro-phenyl)-propyl)-, 1-(1-methyl-3-(4fluoro-phenyl)-propyl)-, 1-(1-methyl-3-(2-chloro-phenyl)-propyl)-, 1-(1-methyl-3-(3chloro-phenyl)-propyl)-, 1-(1-methyl-3-(4-chloro-phenyl)-propyl)-, 1-(1-methyl-3-(2bromo-phenyl)-propyl)-, 1-(1-methyl-3-(4-bromo-phenyl)-propyl)-, 1-(1-methyl-3-(4bromo-phenyl)-propyl)-, 1-(1-methyl-3-(2-nitro-phenyl)-propyl)-, 1-(1-methyl-3-(3nitro-phenyl)-propyl)-, 1-(1-methyl-3-(4-nitro-phenyl)-propyl)-, 1-(1-methyl-3-(2methyl-phenyl)-propyl)-, 1-(1-methyl-3-(3-methyl-phenyl)-propyl)-, 1-(1-methyl-3-(4-methyl-phenyl)-propyl)-, 1-(1-methyl-3-(2-trifluoromethyl-phenyl)-propyl)-, 1-(1-1-(1-methyl-3-(4-trifluoromethylmethyl-3-(3-trifluoromethyl-phenyl)-propyl)-, 1-(1-methyl-3-(2-methoxy-phenyl)-propyl)-, 1-(1-methyl-3-(3phenyl)-propyl)-, methoxy-phenyl)-propyl)-, 1-(1-methyl-3-(4-methoxy-phenyl)-propyl)-, 1-(1-methyl-3-(2-difluoromethoxy-phenyl)-propyl)-, 1-(1-methyl-3-(2-difluoromethoxy-phenyl)propyl)-, 1-(1-methyl-3-(2-difluoromethoxy-phenyl)-propyl)-, 1-(1-methyl-3-(2-trifluoromethoxy-phenyl)-propyl)-, 1-(1-methyl-3-(3-trifluoromethoxy-phenyl)-propyl), 1-(1-methyl-3-(4-trifluoromethoxy-phenyl)-propyl)-, 1-(1-methyl-3-(2-methoxy-

carbonyl-phenyl)-propyl)-, 1-(1-methyl-3-(2-ethoxycarbonyl-phenyl)-propyl)-, 1-(1methyl-3-(4-methoxycarbonyl-phenyl)-propyl)-, 1-(1-methyl-3-(4-ethoxycarbonylphenyl)-propyl)-, 1-(1-methyl-3-(2-methylthio-phenyl)-propyl)-, 1-(1-methyl-3-(4methylthio-phenyl)-propyl)-, 1-(1-methyl-3-(2-methylsulphinyl-phenyl)-propyl)-, 1-5 (1-methyl-3-(4-methylsulphinyl-phenyl)-propyl)-, 1-(1-methyl-3-(2-methylsulphonylphenyl)-propyl)-, 1-(1-methyl-3-(4-methylsulphonyl-phenyl)-propyl)-, 1-(1-methyl-3-(3,4-dichloro-phenyl)- propyl)-, 1-(1-methyl-3-(2,4-dichloro-phenyl)-propyl)-, 1-(1methyl-3-(2,5-dichloro-phenyl)-propyl)-, 1-(1-methyl-3-(2,6-dichloro-phenyl)propyl)-, 1-(1-methyl-3-(2,6-difluoro-phenyl)-propyl)-, 1-(1-methyl-3-(2,5-difluoro-phenyl)-propyl)-, 1-(1-methyl-10 phenyl)-propyl)-, 1-(1-methyl-3-(2,4-difluoro-phenyl)-propyl)-, 1-(1-methyl-3-(3,4difluoro-phenyl)-propyl)-, 1-(1-methyl-3-(3,5-difluoro-phenyl)-propyl)-, 1-(1-methyl-3-(2-fluoro-4-chloro-phenyl)-propyl)-, 1-(1-methyl-3-(4-fluoro-2-chloro-phenyl)propyl)-, 1-(1-methyl-3-(2,4-dimethyl-phenyl)-propyl)-, 1-(1-methyl-3-(3,4-dimethyl-phenyl)-propyl)-, 1-(1-methyl-3-(3,4-dimethyl-phenyl)-, 1-(1-methyl-phenyl-phenyl-phenyl-phenyl-phenyl-phenyl-phenyl-phenyl-phenyl-phenyl-phenyl-phenyl-phenyl-phenyl-ph phenyl)-propyl)-, 1-(1-methyl-3-(3,5-dimethyl-phenyl)-propyl)-, 1-(1-methyl-3-(2,5dimethyl-phenyl)-propyl)-, 1-(1-methyl-3-(2-chloro-6-methyl-phenyl)-propyl)-, 1-(1-15 methyl-3-(4-fluoro-2-methyl-phenyl)-propyl)-, 1-(1-methyl-3-(2-fluoro-4-methylphenyl)-propyl)-, 1-(1-methyl-3-(2-fluoro-5-methyl-phenyl)-propyl)-, 1-(1-methyl-3-(5-fluoro-2-methyl-phenyl)-propyl)-, 1-(1-methyl-3-thien-2-yl-propyl)-, 1-(1-methyl-3-thien-3-yl-propyl)-, 1-(1-methyl-3-pyridin-2-yl-propyl)-, 1-(1-methyl-3-pyridin-3-20 yl-propyl)- and 1-(1-methyl-3-pyridin-4-yl-propyl)-biguanide.

Suitable acid adducts of compounds of the formula (II) are their addition products with protic acids, such as, for example with hydrogen chloride, hydrogen bromide, sulphuric acid, methanesulphonic acid, benzenesulphonic acid and ptoluenesulphonic acid.

The starting materials of the general formula (II) have hitherto not been disclosed in the literature; as novel substances, they also form part of the subject matter of the present application.

30

25

The novel substituted biguanides of the general formula (II) are obtained when substituted alkylamino compounds of the general formula (VI),

$$H_2N$$
 $\stackrel{R^1}{\longleftarrow}$ 
 $Y$ 
 $(VI)$ 

in which

5

R<sup>1</sup>, R<sup>2</sup> and Y are each as defined above

- and/or acid adducts of compounds of the general formula (V), such as, for example, the hydrochlorides -

10

are reacted with cyanoguanidine ("dicyanodiamide") of the formula (VII)

$$H \stackrel{H}{\longrightarrow} N \stackrel{H}{\longrightarrow} N$$
 (VII)

- if appropriate in the presence of a reaction auxiliary, such as, for example, hydrogen chloride, and if appropriate in the presence of a diluent, such as, for example, n-decane or 1,2-dichloro-benzene, at temperatures between 100°C and 200°C (cf. EP 492615, Preparation Examples).
- The substituted alkylamino compounds of the general formula (VI) required as precursors for this purpose are known and/or can be prepared by processes known per se (cf. DE 3426919; DE 4000610; DE 4332738, EP 320898; EP 443606; Tetrahedron: Asymmetry 5 (1994), 817-820; Tetrahedron Lett. 29 (1988), 223-224; loc. cit. 36 (1995), 3917-3920; Preparation Examples).

25

The formula (III) provides a general definition of the alkoxycarbonyl compounds further to be used as starting materials in the process (a) according to the invention for preparing compounds of the formula (I). In the formula (III), Z preferably or in

particular has that meaning which has already been mentioned above, in connection with the description of the compounds of the formula (I) according to the invention, as being preferred or as being particularly preferred for Z; R' preferably represents alkyl having 1 to 4 carbon atoms, and in particular represents methyl or ethyl.

5

10

15

The starting materials of the formula (III) are known chemicals for synthesis.

The formula (IV) provides a general definition of the substituted triazines to be used as starting materials in the process (b) according to the invention for preparing compounds of the formula (I). In the formula (IV),  $R^1$ ,  $R^2$ , Y and Z each preferably or in particular have those meanings which have already been mentioned above, in connection with the description of the compounds of the formula (I) according to the invention, as being preferred or as being particularly preferred for  $R^1$ ,  $R^2$ , Y and Z;  $X^1$  preferably represents fluorine, chlorine, bromine, methoxy or ethoxy, and in particular represents chlorine.

Examples of the substituted triazines of the formula (IV) which may be mentioned are:

2-(1-methyl-3-phenyl-propylamino)-, 2-(1,2-dimethyl-3-phenyl-propylamino)-, 2-(1-20 methyl-3-(2-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chlorophenyl)-propylamino)-, 2-(1-methyl-3-(3-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-bromo-phenyl)-propylamino)-, 2-(1-methyl-3-(3-bromo-phenyl)-propylamino)-, 2-(1-methyl-3-(4-bromo-phenyl)-25 propylamino)-. 2-(1-methyl-3-(2-nitro-phenyl)-propylamino)-, 2-(1-methyl-3-(3nitro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-nitro-phenyl)-propylamino)-, 2-(1methyl-3-(2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-trifluoro-2-(1-methyl-3-(3-trifluoromethyl-phenyl)-propyl-30 methyl-phenyl)-propylamino)-, amino)-, 2-(1-methyl-3-(4-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methoxy-phenyl)-propylamino)-,

2-(1-methyl-3-(4-methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-trifluoromethoxy-phenyl)-propylamino)-, 1-(1-methyl-3-(3-trifluoromethoxyphenyl)-propylamino)-, 2-(1-methyl-3-(4-trifluoromethoxy-phenyl)-propylamino)-, 2-5 (1-methyl-3-(2-methoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-ethoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-ethoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2methylthio-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methylthio-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methylsulphinyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-10 methylsulphinyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methylsulphonyl-phenyl)propylamino)-, 2-(1-methyl-3-(4-methylsulphonyl-phenyl)-propylamino)-, 2-(1methyl-3-(3,4-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-dichloro-phenyl)propylamino)-, 2-(1-methyl-3-(2,5-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-2-(1-methyl-3-(2,6-difluoro-phenyl)-propyl-15 (2,6-dichloro-phenyl)-propylamino)-, amino)-, 2-(1-methyl-3-(2,5-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3,4-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3,5-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-4-chlorophenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-2-chloro-phenyl)-propylamino)-, 2-2-(1-methyl-3-(3,4-dimethyl-20 (1-methyl-3-(2,4-dimethyl-phenyl)-propylamino)-, phenyl)-propylamino)-, 2-(1-methyl-3-(3,5-dimethyl-phenyl)-propylamino)-, 2-(1methyl-3-(2,5-dimethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chloro-6-methylphenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-4-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-5-25 2-(1-methyl-3-(5-fluoro-2-methyl-phenyl)-propylmethyl-phenyl)-propylamino)-, amino)-, 2-(1-methyl-3-thien-2-yl-propylamino)-, 2-(1-methyl-3-thien-3-yl-propylamino)-, 2-(1-methyl-3-pyridin-2-yl-propylamino)-, 2-(1-methyl-3-pyridin-3-yl-propylamino)- and 2-(1-methyl-3-pyridin-4-yl-propylamino)- -4,6-dichloro-1,3,5triazine;

30

2-(1-methyl-3-phenyl-propylamino)-, 2-(1,2-dimethyl-3-phenyl-propylamino)-, 2-(1-methyl-3-(2-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3-fluoro-phenyl)-propyl-

amino)-, 2-(1-methyl-3-(4-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chlorophenyl)-propylamino)-, 2-(1-methyl-3-(3-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-bromo-phenyl)-propylamino)-, 2-(1-methyl-3-(3-bromo-phenyl)-propylamino)-, 2-(1-methyl-3-(4-bromo-phenyl)-2-(1-methyl-3-(2-nitro-phenyl)-propylamino)-, 5 propylamino)-, 2-(1-methyl-3-(3nitro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-nitro-phenyl)-propylamino)-, 2-(1methyl-3-(2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-trifluoro-2-(1-methyl-3-(3-trifluoromethyl-phenyl)-propylmethyl-phenyl)-propylamino)-, 10 amino)-, 2-(1-methyl-3-(4-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-1-(1-methyl-3-(3-trifluoromethoxy-15 (2-trifluoromethoxy-phenyl)-propylamino)-, phenyl)-propylamino)-, 2-(1-methyl-3-(4-trifluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-ethoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-ethoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-20 methylthio-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methylthio-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methylsulphinyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4methylsulphinyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methylsulphonyl-phenyl)propylamino)-, 2-(1-methyl-3-(4-methylsulphonyl-phenyl)-propylamino)-, methyl-3-(3,4-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-dichloro-phenyl)-25 propylamino)-, 2-(1-methyl-3-(2,5-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,6-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,6-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,5-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3,4-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3,5-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-4-chloro-30 phenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-2-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-dimethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3,4-dimethylphenyl)-propylamino)-, 2-(1-methyl-3-(3,5-dimethyl-phenyl)-propylamino)-, 2-(1methyl-3-(2,5-dimethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chloro-6-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-5-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(5-fluoro-2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-thien-2-yl-propylamino)-, 2-(1-methyl-3-thien-3-yl-propylamino)-, 2-(1-methyl-3-pyridin-2-yl-propylamino)-, 2-(1-methyl-3-pyridin-3-yl-propylamino)- and 2-(1-methyl-3-pyridin-4-yl-propylamino)- -4-chloro-6-methyl-1,3,5-triazine;

5

2-(1-methyl-3-phenyl-propylamino)-, 2-(1,2-dimethyl-3-phenyl-propylamino)-, 2-(1-10 methyl-3-(2-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chlorophenyl)-propylamino)-, 2-(1-methyl-3-(3-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-bromo-phenyl)-propylamino)-, 2-(1-methyl-3-(3-bromo-phenyl)-propylamino)-, 15 2-(1-methyl-3-(4-bromo-phenyl)propylamino)-, 2-(1-methyl-3-(2-nitro-phenyl)-propylamino)-, 2-(1-methyl-3-(3nitro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-nitro-phenyl)-propylamino)-, 2-(1methyl-3-(2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-trifluoro-20 methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propyl-25 . amino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-trifluoromethoxy-phenyl)-propylamino)-, 1-(1-methyl-3-(3-trifluoromethoxyphenyl)-propylamino)-, 2-(1-methyl-3-(4-trifluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-ethoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methoxycarbonyl-phenyl)-propyl-30 amino)-, 2-(1-methyl-3-(4-ethoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2methylthio-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methylthio-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methylsulphinyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-

methylsulphinyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methylsulphonyl-phenyl)propylamino)-, 2-(1-methyl-3-(4-methylsulphonyl-phenyl)-propylamino)-, methyl-3-(3,4-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-dichloro-phenyl)propylamino)-, 2-(1-methyl-3-(2,5-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-5 (2,6-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,6-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,5-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3,4-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3,5-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-4-chlorophenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-2-chloro-phenyl)-propylamino)-, 2-10 (1-methyl-3-(2,4-dimethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3,4-dimethylphenyl)-propylamino)-, 2-(1-methyl-3-(3,5-dimethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2,5-dimethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chloro-6-methylphenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-4-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-5methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(5-fluoro-2-methyl-phenyl)-propyl-15 amino)-, 2-(1-methyl-3-thien-2-yl-propylamino)-, 2-(1-methyl-3-thien-3-yl-propyl-2-(1-methyl-3-pyridin-2-yl-propylamino)-, 2-(1-methyl-3-pyridin-3-ylamino)-. propylamino)- and 2-(1-methyl-3-pyridin-4-yl-propylamino)- -4-chloro-6-trifluoromethyl-1,3,5-triazine;

20

2-(1-methyl-3-phenyl-propylamino)-, 2-(1,2-dimethyl-3-phenyl-propylamino)-, 2-(1methyl-3-(2-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chlorophenyl)-propylamino)-, 2-(1-methyl-3-(3-chloro-phenyl)-propylamino)-, 2-(1-methyl-25 3-(4-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-bromo-phenyl)-propylamino)-, 2-(1-methyl-3-(3-bromo-phenyl)-propylamino)-, 2-(1-methyl-3-(4-bromo-phenyl)propylamino)-, 2-(1-methyl-3-(2-nitro-phenyl)-propylamino)-, 2-(1-methyl-3-(3nitro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-nitro-phenyl)-propylamino)-, 2-(1methyl-3-(2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methyl-phenyl)-propyl-30 amino)-, 2-(1-methyl-3-(4-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-

methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-5 (2-trifluoromethoxy-phenyl)-propylamino)-, 1-(1-methyl-3-(3-trifluoromethoxyphenyl)-propylamino)-, 2-(1-methyl-3-(4-trifluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-ethoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-ethoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-10 methylthio-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methylthio-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methylsulphinyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4methylsulphinyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methylsulphonyl-phenyl)propylamino)-, 2-(1-methyl-3-(4-methylsulphonyl-phenyl)-propylamino)-, methyl-3-(3,4-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-dichloro-phenyl)propylamino)-, 2-(1-methyl-3-(2,5-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-15 (2,6-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,6-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,5-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3,4-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3,5-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-4-chloro-20 phenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-2-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-dimethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3,4-dimethylphenyl)-propylamino)-, 2-(1-methyl-3-(3,5-dimethyl-phenyl)-propylamino)-, 2-(1methyl-3-(2,5-dimethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chloro-6-methylphenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-2-methyl-phenyl)-propylamino)-, 2-25 (1-methyl-3-(2-fluoro-4-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-5methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(5-fluoro-2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-thien-2-yl-propylamino)-, 2-(1-methyl-3-thien-3-yl-propylamino)-, 2-(1-methyl-3-pyridin-2-yl-propylamino)-, 2-(1-methyl-3-pyridin-3-yl-propylamino)- and 2-(1-methyl-3-pyridin-4-yl-propylamino)- -4-chloro-6-(1-fluoro-ethyl)-30 1,3,5-triazine;

2-(1-methyl-3-phenyl-propylamino)-, 2-(1,2-dimethyl-3-phenyl-propylamino)-, 2-(1methyl-3-(2-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chlorophenyl)-propylamino)-, 2-(1-methyl-3-(3-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-bromo-phenyl)-propylamino)-, 5 2-(1-methyl-3-(3-bromo-phenyl)-propylamino)-, 2-(1-methyl-3-(4-bromo-phenyl)propylamino)-, 2-(1-methyl-3-(2-nitro-phenyl)-propylamino)-, 2-(1-methyl-3-(3nitro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-nitro-phenyl)-propylamino)-, 2-(1methyl-3-(2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methyl-phenyl)-propyl-10 amino)-, 2-(1-methyl-3-(4-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propyl-15 amino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-trifluoromethoxy-phenyl)-propylamino)-, 1-(1-methyl-3-(3-trifluoromethoxyphenyl)-propylamino)-, 2-(1-methyl-3-(4-trifluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-ethoxy-20 carbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-ethoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2methylthio-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methylthio-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methylsulphinyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4methylsulphinyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methylsulphonyl-phenyl)-25 2-(1-methyl-3-(4-methylsulphonyl-phenyl)-propylamino)-, propylamino)-, methyl-3-(3,4-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-dichloro-phenyl)propylamino)-, 2-(1-methyl-3-(2,5-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-2-(1-methyl-3-(2,6-difluoro-phenyl)-propyl-(2,6-dichloro-phenyl)-propylamino)-, amino)-, 2-(1-methyl-3-(2,5-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-di-30 fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3,4-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3,5-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-4-chlorophenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-2-chloro-phenyl)-propylamino)-, 2(1-methyl-3-(2,4-dimethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3,4-dimethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2,5-dimethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chloro-6-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chloro-6-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-5-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(5-fluoro-2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-thien-3-yl-propylamino)-, 2-(1-methyl-3-thien-3-yl-propylamino)-, 2-(1-methyl-3-pyridin-2-yl-propylamino)-, 2-(1-methyl-3-pyridin-3-yl-propylamino)- and 2-(1-methyl-3-pyridin-4-yl-propylamino)- -4-chloro-6-(1-fluoro-1-methyl-ethyl)-1,3,5-triazine;

5

10

2-(1-methyl-3-phenyl-propylamino)-, 2-(1,2-dimethyl-3-phenyl-propylamino)-, 2-(1methyl-3-(2-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chloro-15 phenyl)-propylamino)-, 2-(1-methyl-3-(3-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-bromo-phenyl)-propylamino)-, 2-(1-methyl-3-(3-bromo-phenyl)-propylamino)-, 2-(1-methyl-3-(4-bromo-phenyl)-2-(1-methyl-3-(2-nitro-phenyl)-propylamino)-, propylamino)-, 2-(1-methyl-3-(3nitro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-nitro-phenyl)-propylamino)-, 2-(1-20 methyl-3-(2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methoxy-phenyl)-propylamino)-, 25 2-(1-methyl-3-(4-methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-trifluoromethoxy-phenyl)-propylamino)-, 1-(1-methyl-3-(3-trifluoromethoxyphenyl)-propylamino)-, 2-(1-methyl-3-(4-trifluoromethoxy-phenyl)-propylamino)-, 2-30 (1-methyl-3-(2-methoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-ethoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-ethoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-

methylthio-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methylthio-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methylsulphinyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4methylsulphinyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methylsulphonyl-phenyl)propylamino)-, 2-(1-methyl-3-(4-methylsulphonyl-phenyl)-propylamino)-, methyl-3-(3,4-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-dichloro-phenyl)-5 propylamino)-, 2-(1-methyl-3-(2,5-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,6-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,6-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,5-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3,4-difluoro-phenyl)-propylamino)-, 2-10 (1-methyl-3-(3,5-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-4-chlorophenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-2-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-dimethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3,4-dimethylphenyl)-propylamino)-, 2-(1-methyl-3-(3,5-dimethyl-phenyl)-propylamino)-, 2-(1methyl-3-(2,5-dimethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chloro-6-methylphenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-2-methyl-phenyl)-propylamino)-, 2-15 (1-methyl-3-(2-fluoro-4-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-5methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(5-fluoro-2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-thien-2-yl-propylamino)-, 2-(1-methyl-3-thien-3-yl-propylamino)-, 2-(1-methyl-3-pyridin-2-yl-propylamino)-, 2-(1-methyl-3-pyridin-3-yl-propyl-20 amino)- and 2-(1-methyl-3-pyridin-4-yl-propylamino)- -4-chloro-6-methoxy-1,3,5triazine;

2-(1-Methyl-3-phenyl-propylamino)-, 2-(1,2-dimethyl-3-phenyl-propylamino)-, 2-(1-methyl-3-(2-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-bromo-phenyl)-propylamino)-, 2-(1-methyl-3-(3-bromo-phenyl)-propylamino)-, 2-(1-methyl-3-(4-bromo-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methyl-3-(2-nitro-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methyl-3-(2-methyl-3-(4-methyl-3-(4-nitro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-trifluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-trifluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-trifluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-trifluoro-phenyl)-propylamino-phenyl

methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propyl-5 amino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-trifluoromethoxy-phenyl)-propylamino)-, 1-(1-methyl-3-(3-trifluoromethoxyphenyl)-propylamino)-, 2-(1-methyl-3-(4-trifluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-ethoxy-10 carbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-ethoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2methylthio-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methylthio-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methylsulphinyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4methylsulphinyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methylsulphonyl-phenyl)-15 propylamino)-, 2-(1-methyl-3-(4-methylsulphonyl-phenyl)-propylamino)-, 2-(1methyl-3-(3,4-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-dichloro-phenyl)propylamino)-, 2-(1-methyl-3-(2,5-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-2-(1-methyl-3-(2,6-difluoro-phenyl)-propyl-(2,6-dichloro-phenyl)-propylamino)-, amino)-, 2-(1-methyl-3-(2,5-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-di-20 fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3,4-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3,5-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-4-chlorophenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-2-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-dimethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3,4-dimethylphenyl)-propylamino)-, 2-(1-methyl-3-(3,5-dimethyl-phenyl)-propylamino)-, 2-(1methyl-3-(2,5-dimethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chloro-6-methyl-25 phenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-4-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-5methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(5-fluoro-2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-thien-2-yl-propylamino)-, 2-(1-methyl-3-thien-3-yl-propylami-30 no)-, 2-(1-methyl-3-pyridin-2-yl-propylamino)-, 2-(1-methyl-3-pyridin-3-yl-propylamino)- and 2-(1-methyl-3-pyridin-4-yl-propylamino)- -4-chloro-6-(2,2,2-trifluoroethoxy)-1,3,5-triazine;

2-(1-Methyl-3-phenyl-propylamino)-, 2-(1,2-dimethyl-3-phenyl-propylamino)-, 2-(1methyl-3-(2-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chlorophenyl)-propylamino)-, 2-(1-methyl-3-(3-chloro-phenyl)-propylamino)-, 2-(1-methyl-5 3-(4-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-bromo-phenyl)-propylamino)-, 2-(1-methyl-3-(4-bromo-phenyl)-2-(1-methyl-3-(3-bromo-phenyl)-propylamino)-, 2-(1-methyl-3-(2-nitro-phenyl)-propylamino)-, 2-(1-methyl-3-(3propylamino)-, nitro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-nitro-phenyl)-propylamino)-, 2-(1methyl-3-(2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methyl-phenyl)-propyl-10 amino)-, 2-(1-methyl-3-(4-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methoxy-phenyl)-propylamino)-, 15 2-(1-methyl-3-(4-methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-1-(1-methyl-3-(3-trifluoromethoxy-(2-trifluoromethoxy-phenyl)-propylamino)-, phenyl)-propylamino)-, 2-(1-methyl-3-(4-trifluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-ethoxy-20 carbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-ethoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-2-(1-methyl-3-(4-methylthio-phenyl)-propylmethylthio-phenyl)-propylamino)-, amino)-, 2-(1-methyl-3-(2-methylsulphinyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-25 methylsulphinyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methylsulphonyl-phenyl)-2-(1-methyl-3-(4-methylsulphonyl-phenyl)-propylamino)-, propylamino)-, methyl-3-(3,4-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-dichloro-phenyl)propylamino)-, 2-(1-methyl-3-(2,5-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-2-(1-methyl-3-(2,6-difluoro-phenyl)-propyl-(2,6-dichloro-phenyl)-propylamino)-, amino)-, 2-(1-methyl-3-(2,5-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-di-30 fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3,4-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3,5-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-4-chlorophenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-2-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-dimethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3,5-dimethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2,5-dimethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chloro-6-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-5-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(5-fluoro-2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-thien-2-yl-propylamino)-, 2-(1-methyl-3-thien-3-yl-propylamino)-, 2-(1-methyl-3-pyridin-2-yl-propylamino)-, 2-(1-methyl-3-pyridin-3-yl-propylamino)- and 2-(1-methyl-3-pyridin-4-yl-propylamino)- 4-chloro-6-methyl-thio-1,3,5-triazine;

5

10

2-(1-methyl-3-phenyl-propylamino)-, 2-(1,2-dimethyl-3-phenyl-propylamino)-, 2-(1methyl-3-(2-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3-fluoro-phenyl)-propyl-15 amino)-, 2-(1-methyl-3-(4-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chlorophenyl)-propylamino)-, 2-(1-methyl-3-(3-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-bromo-phenyl)-propylamino)-, 2-(1-methyl-3-(3-bromo-phenyl)-propylamino)-, 2-(1-methyl-3-(4-bromo-phenyl)propylamino)-, 2-(1-methyl-3-(2-nitro-phenyl)-propylamino)-, 2-(1-methyl-3-(3nitro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-nitro-phenyl)-propylamino)-, 2-(1-20 methyl-3-(2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-25 methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-trifluoromethoxy-phenyl)-propylamino)-, 1-(1-methyl-3-(3-trifluoromethoxy-30 phenyl)-propylamino)-, 2-(1-methyl-3-(4-trifluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-ethoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methoxycarbonyl-phenyl)-propyl-

amino)-, 2-(1-methyl-3-(4-ethoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2methylthio-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methylthio-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methylsulphinyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4methylsulphinyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methylsulphonyl-phenyl)-5 2-(1-methyl-3-(4-methylsulphonyl-phenyl)-propylamino)-, propylamino)-. methyl-3-(3,4-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-dichloro-phenyl)propylamino)-, 2-(1-methyl-3-(2,5-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,6-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2.6-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,5-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3,4-difluoro-phenyl)-propylamino)-, 2-10 (1-methyl-3-(3,5-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-4-chlorophenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-2-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-dimethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3,4-dimethylphenyl)-propylamino)-, 2-(1-methyl-3-(3,5-dimethyl-phenyl)-propylamino)-, 2-(1-15 methyl-3-(2,5-dimethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chloro-6-methylphenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-4-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-5methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(5-fluoro-2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-thien-2-yl-propylamino)-, 2-(1-methyl-3-thien-3-yl-propylami-20 no)-, 2-(1-methyl-3-pyridin-2-yl-propylamino)-, 2-(1-methyl-3-pyridin-3-yl-propylamino)- and 2-(1-methyl-3-pyridin-4-yl-propylamino)- -4-chloro-6-methylsulphinyl-1,3,5-triazine;

2-(1-Methyl-3-phenyl-propylamino)-, 2-(1,2-dimethyl-3-phenyl-propylamino)-, 2-(1-methyl-3-(2-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3-fluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(4-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-bromo-phenyl)-propylamino)-, 2-(1-methyl-3-(3-bromo-phenyl)-propylamino)-, 2-(1-methyl-3-(4-bromo-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methyl-3-(2-nitro-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methyl-3-(2-methyl-3-(2-methyl-3-(3-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3-met

amino)-, 2-(1-methyl-3-(4-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-trifluoromethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(3-methoxy-phenyl)-propylamino)-, 5 2-(1-methyl-3-(4-methoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-difluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-trifluoromethoxy-phenyl)-propylamino)-, 1-(1-methyl-3-(3-trifluoromethoxyphenyl)-propylamino)-, 2-(1-methyl-3-(4-trifluoromethoxy-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methoxycarbonyl-phenyl)-propylamino)-, 10 2-(1-methyl-3-(2-ethoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-ethoxycarbonyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2methylthio-phenyl)-propylamino)-, 2-(1-methyl-3-(4-methylthio-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methylsulphinyl-phenyl)-propylamino)-, 2-(1-methyl-3-(4-15 methylsulphinyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-methylsulphonyl-phenyl)-2-(1-methyl-3-(4-methylsulphonyl-phenyl)-propylamino)-, propylamino)-, 2-(1methyl-3-(3,4-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-dichloro-phenyl)propylamino)-, 2-(1-methyl-3-(2,5-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,6-dichloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,6-difluoro-phenyl)-propyl-20 amino)-, 2-(1-methyl-3-(2,5-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3,4-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(3,5-difluoro-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-4-chlorophenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-2-chloro-phenyl)-propylamino)-, 2-(1-methyl-3-(2,4-dimethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(3,4-dimethylphenyl)-propylamino)-, 2-(1-methyl-3-(3,5-dimethyl-phenyl)-propylamino)-, 2-(1-25 methyl-3-(2,5-dimethyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-chloro-6-methylphenyl)-propylamino)-, 2-(1-methyl-3-(4-fluoro-2-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-4-methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(2-fluoro-5methyl-phenyl)-propylamino)-, 2-(1-methyl-3-(5-fluoro-2-methyl-phenyl)-propylami-30 no)-, 2-(1-methyl-3-thien-2-yl-propylamino)-, 2-(1-methyl-3-thien-3-yl-propylamino)-, 2-(1-methyl-3-pyridin-2-yl-propylamino)-, 2-(1-methyl-3-pyridin-3-yl-propylamino)- and 2-(1-methyl-3-pyridin-4-yl-propylamino)- -4-chloro-6-methylsulphonyl-1,3,5-triazine.

The starting materials of the general formula (IV) have hitherto not been disclosed in the literature; as novel substances, they also form part of the subject-matter of the present application.

The novel substituted triazines of the general formula (IV) are obtained when triazines of the general formula (VIII)

10

$$\begin{array}{c|c}
x^1 \\
N & N \\
Z & N & X^3
\end{array}$$
(VIII)

in which

15  $X^1$  and Z are each as defined above and

X<sup>3</sup> represents halogen

are reacted with substituted alkylamino compounds of the general formula (VI)

20

$$H_2N$$
 $\stackrel{R^1}{\underset{R^2}{\bigvee}}$  Y (VI)

in which

25 R<sup>1</sup>, R<sup>2</sup> and Y are each as defined above,

if appropriate in the presence of an acid acceptor, such as, for example, ethyldiisopropylamine, and if appropriate in the presence of a diluent, such as, for example, tetrahydrofuran or dioxane, at temperatures between -50°C and +50°C (cf. the Preparation Examples).

5

10

20

The formula (V) provides a general definition of the substituted aminotriazines to be used as starting materials in the process (c) according to the invention for preparing compounds of the formula (I). In the formula (V), Z preferably or in particular has that meaning which has already been mentioned above, in connection with the description of the compounds of the formula (I) according to the invention, as being preferred or as being particularly preferred for that; X<sup>2</sup> preferably represents fluorine, chlorine, bromine, methoxy or ethoxy, and in particular represents chlorine or methoxy.

15 The starting materials of the general formula (V) are known and/or can be prepared by processes known per se (cf. WO 95/11237).

The formula (VI) provides a general definition of the substituted alkylamines further to be used as starting materials in the process (c) according to the invention. In the formula (VI), R<sup>1</sup>, R<sup>2</sup> and Y each preferably or in particular have those meanings which have already been mentioned above, in connection with the description of the compounds of the formula (IV) according to the invention, as being preferred or as being particularly preferred for R<sup>1</sup>, R<sup>2</sup> and Y.

- 25. The starting materials of the general formula (VI) are known and/or can be prepared by processes known per se (cf. DE 3426919; DE 4000610; DE 4332738, EP 320898; EP 443606; Tetrahedron: Asymmetry 5 (1994), 817-820; Tetrahedron Lett. 29 (1988), 223-224; loc. cit. 36 (1995), 3917-3920; Preparation Examples).
- If appropriate, the processes according to the invention for preparing the compounds of the formula (I) are carried out using a reaction auxiliary. Suitable reaction auxiliaries for the processes (a), (b) and (c) are, in general, the customary inorganic

or organic bases or acid acceptors. These preferably include alkali metal or alkaline earth metal acetates, amides, carbonates, bicarbonates, hydrides, hydroxides or alkoxides, such as, for example, sodium acetate, potassium acetate or calcium acetate, lithium amide, sodium amide, potassium amide or calcium amide, sodium carbonate, potassium carbonate or calcium carbonate, sodium bicarbonate, potassium bicarbonate or calcium bicarbonate, lithium hydride, sodium hydride, potassium hydride or calcium hydride, lithium hydroxide, sodium hydroxide, potassium hydroxide or calcium hydroxide, sodium methoxide, ethoxide, n- or i-propoxide, n-, i-, s- or t-butoxide or potassium methoxide, ethoxide, n- or i-propoxide, n-, i-, s- or tbutoxide; furthermore also basic organic nitrogen compounds, such as, for example, trimethylamine, triethylamine, tripropylamine, tributylamine, ethyl-diisopropylmine, N,N-dimethyl-cyclohexylamine, dicyclohexylamine, ethyl-dicyclohexylamine, N,Ndimethylaniline, N,N-dimethyl-benzylamine, pyridine, 2-methyl-, 3-methyl-, 4-ethyl-, 2,4-dimethyl-, 2,6-dimethyl-, 3,4-dimethyl- and 3,5-dimethyl-pyridine, 5-ethyl-2methyl-pyridine, 4-dimethylamino-pyridine, N-methyl-piperidine, 1,4-diazabicyclo-[2,2,2]-octane (DABCO), 1,5-diazabicyclo[4,3,0]-non-5-ene (DBN), or 1,8-diazabicyclo[5,4,0]-undec-7-ene (DBU).

5

10

15

20

25

30

Suitable diluents for carrying out the processes (a), (b) and (c) according to the invention are especially inert organic solvents. These include in particular aliphatic, alicyclic or aromatic, optionally halogenated hydrocarbons, such as, for example, benzine, benzene, toluene, xylene, chlorobenzene, dichlorobenzene, petroleum ether, hexane, cyclohexane, dichloromethane, chloroform, carbon tetrachloride; ethers, such as diethyl ether, diisopropyl ether, dioxane, tetrahydrofuran or ethylene glycol dimethyl or diethyl ether; ketones, such as acetone, butanone or methyl isobutyl ketone; nitriles, such as acetonitrile, propionitrile or butyronitrile; amides, such as N,N-dimethylformamide, N,N-dimethylacetamide, N-methyl-formanilide, N-methylpyrrolidone or hexamethylphosphoric triamide; esters, such as methyl acetate, ethyl acetate, n- or -i- propyl acetate, n-, i-, s- or t-butyl acetate; sulphoxides, such as dimethyl sulphoxide; alcohols, such as methanol, ethanol, n- or i-propanol, n- i-, s- or t-butanol, ethylene glycol monomethyl ether, ethylene glycol monomethyl ether,

diethylene glycol monomethyl ether, diethylene glycol monoethyl ether, mixtures thereof with water or pure water.

In the practice of the processes (a), (b) and (c) according to the invention, the reaction temperatures can be varied over a relatively wide range. Generally, the reaction is carried out at temperatures between 0°C and 300°C, preferably between 10°C and 250°C.

The processes (a), (b) and (c) according to the invention are generally carried out at atmospheric pressure. However, it is also possible to carry out the processes according to the invention under elevated or reduced pressure - generally between 0.1 bar and 10 bar.

In the practice of the processes according to the invention, the starting materials are generally employed in approximately equimolar amounts. However, it is also possible to use a relatively large excess of one of the components. The reaction is generally carried out in a suitable diluent in the presence of a reaction auxiliary, and the reaction mixture is generally stirred for several hours at the temperature required. Work-up is carried out by conventional methods (cf. the Preparation Examples).

20

25

15

The active compounds according to the invention can be used as defoliants, desiccants, haulm killers and, especially, as weed-killers. By weeds in the broadest sense, there are to be understood all plants which grow in locations where they are undesirable. Whether the substances according to the invention act as total or selective herbicides depends essentially on the amount used.

The active compounds according to the invention can be used, for example, in connection with the following plants:

30 <u>Dicotyledonous weeds of the genera:</u> Sinapis, Lepidium, Galium, Stellaria, Matricaria, Anthemis, Galinsoga, Chenopodium, Urtica, Senecio, Amaranthus, Portulaca, Xanthium, Convolvulus, Ipomoea, Polygonum, Sesbania, Ambrosia, Cirsium, Carduus,

Sonchus, Solanum, Rorippa, Rotala, Lindernia, Lamium, Veronica, Abutilon, Emex, Datura, Viola, Galeopsis, Papaver, Centaurea, Trifolium, Ranunculus and Taraxacum.

<u>Dicotyledonous crops of the genera:</u> Gossypium, Glycine, Beta, Daucus, Phaseolus,
 Pisum, Solanum, Linum, Ipomoea, Vicia, Nicotiana, Lycopersicon, Arachis, Brassica,
 Lactuca, Cucumis and Cucurbita.

Monocotyledonous weeds of the genera: Echinochloa, Setaria, Panicum, Digitaria, Phleum, Poa, Festuca, Eleusine, Brachiaria, Lolium, Bromus, Avena, Cyperus, Sorghum, Agropyron, Cynodon, Monochoria, Fimbristylis, Sagittaria, Eleocharis, Scirpus, Paspalum, Ischaemum, Sphenoclea, Dactyloctenium, Agrostis, Alopecurus, Apera and Phalaris.

Monocotyledonous crops of the genera: Oryza, Zea, Triticum, Hordeum, Avena,

Secale, Sorghum, Panicum, Saccharum, Ananas, Asparagus and Allium.

However, the use of the active compounds according to the invention is in no way restricted to these genera, but also extends in the same manner to other plants.

The compounds are suitable, depending on the concentration, for the total control of weeds, for example on industrial terrain and railway tracks, and on paths and squares with or without tree plantings. Equally, the compounds can be employed for controlling weeds in perennial cultures, for example forests, decorative tree plantings, orchards, vineyards, citrus groves, nut orchards, banana plantations, coffee plantations, tea plantations, rubber plantations, oil palm plantations, cocoa plantations, soft fruit plantings and hopfields, on lawns, turf and pasture land, and for the selective control of weeds in annual cultures.

The compounds of the formula (I) according to the invention are suitable in particular for selectively controlling monocotyledonous and dicotyledonous weeds in monocotyledonous and dikotyledonous crops, both pre-emergence and post-emergence.

The active compounds can be converted into the customary formulations, such as solutions, emulsions, wettable powders, suspensions, powders, dusting agents, pastes, soluble powders, granules, suspo-emulsion concentrates, natural and synthetic materials impregnated with active compound, and very fine capsules in polymeric substances.

5

These formulations are produced in a known manner, for example by mixing the active compounds with extenders, that is liquid solvents and/or solid carriers, optionally with the use of surfactants, that is emulsifiers and/or dispersing agents and/or foam-forming agents.

10

15

If the extender used is water, it is also possible to employ for example organic solvents as auxiliary solvents. Essentially, suitable liquid solvents are: aromatics, such as xylene, toluene or alkylnaphthalenes, chlorinated aromatics and chlorinated aliphatic hydrocarbons, such as chlorobenzenes, chloroethylenes or methylene chloride, aliphatic hydrocarbons, such as cyclohexane or paraffins, for example petroleum fractions, mineral and vegetable oils, alcohols, such as butanol or glycol and also their ethers and esters, ketones, such as acetone, methyl ethyl ketone, methyl isobutyl ketone or cyclohexanone, strongly polar solvents, such as dimethylformamide and dimethyl sulphoxide, and also water.

20

25

30

Suitable solid carriers are: for example ammonium salts and ground natural minerals, such as kaolins, clays, talc, chalk, quartz, attapulgite, montmorillonite or diatomaceous earth, and ground synthetic minerals, such as finely divided silica, alumina and silicates; suitable solid carriers for granules are: for example crushed and fractionated natural rocks such as calcite, marble, pumice, sepiolite and dolomite, and also synthetic granules of inorganic and organic meals, and granules of organic material such as sawdust, coconut shells, maize cobs and tobacco stalks; suitable emulsifiers and/or foam-forming agents are: for example nonionic and anionic emulsifiers, such as polyoxyethylene fatty acid esters, polyoxyethylene fatty alcohol ethers, for example alkylaryl polyglycol ethers, alkylsulphonates, alkyl sulphates, arylsulphonates and also protein hydrolysates; suitable dispersing agents are: for example lignin-sulphite waste liquors and methylcellulose.

Tackifiers such as carboxymethylcellulose and natural and synthetic polymers in the form of powders, granules or latexes, such as gum arabic, polyvinyl alcohol and polyvinyl acetate, as well as natural phospholipids, such as cephalins and lecithins, and synthetic phospholipids, can be used in the formulations. Other possible additives are mineral and vegetable oils.

It is possible to use colorants such as inorganic pigments, for example iron oxide, titanium oxide and Prussian Blue, and organic dyes, such as alizarin dyes, azo dyes and metal phthalocyanine dyes, and trace nutrients such as salts of iron, manganese, boron, copper, cobalt, molybdenum and zinc.

The formulations in general contain between 0.1 and 95 per cent by weight of active compound, preferably between 0.5 and 90%.

15

25

30

10

5

For controlling weeds, the active compounds according to the invention, as such or in the form of their formulations, can also be used as mixtures with known herbicides, finished formulations or tank mixes being possible.

20 Possible components for the mixtures are known herbicides, for example

acetochlor, acifluorfen(-sodium), aclonifen, alachlor, alloxydim(-sodium), ametryne, amidochlor, amidosulfuron, asulam, atrazine, azimsulfuron, benazolin, benfuresate, bensulfuron(-methyl), bentazon, benzofenap, benzoylprop(-ethyl), bialaphos, bifenox, bromobutide, bromofenoxim, butachlor, butylate, cafenstrole, bromoxynil, chloridazon, chlorimuron(-ethyl), carbetamide, chlomethoxyfen, chloramben, chlornitrofen, chlorsulfuron, chlortoluron, cinmethylin, cinosulfuron, clethodim, clodinafop(-propargyl), clomazone, clopyralid, clopyrasulfuron, cloransulam(-methyl), cumyluron, cyanazine, cycloate, cyclosulfamuron, cycloxydim, cyhalofop(-butyl), 2,4-D, 2,4-DB, 2,4-DP, desmedipham, diallate, dicamba, diclofop(-methyl), difenzoquat, diflufenican, dimefuron, dimepiperate, dimethachlor, dimethametryn, dimethenamid, dinitramine, diphenamid, diquat, dithiopyr, diuron, dymron, EPTC, esprocarb,

ethalfluralin, ethametsulfuron(-methyl), ethofumesate, ethoxyfen, etobenzanid, fenoxaprop-ethyl, flamprop(-isopropyl), flamprop(-isopropyl-L), flamprop(-methyl), flazasulfuron, fluazifop(-butyl), flumetsulam, flumiclorac(-pentyl), flumioxazin, fluometuron, fluorochloridone, flumipropyn, fluoroglycofen(-ethyl), flupoxam, flupropacil, flurenol, fluridone, fluroxypyr, flurprimidol, flurtamone, fomesafen, 5 glufosinate(-ammonium), glyphosate(-isopropylammonium), halosafen. haloxyfop(-ethoxyethyl), hexazinone, imazamethabenz(-methyl), imazamethapyr, imazamox, imazapyr, imazaquin, imazethapyr, imazosulfuron, ioxynil, isopropalin, isoproturon, isoxaben, isoxaflutole, isoxapyrifop, lactofen, lenacil, linuron, MCPA, 10 MCPP, mefenacet, metamitron, metazachlor, methabenzthiazuron, metobenzuron, metobromuron, metolachlor, metosulam, metoxuron, metribuzin, metsulfuron-(-methyl), molinate, monolinuron, naproanilide, napropamide, neburon, nicosulfuron, norflurazon orbencarb, oryzalin, oxadiazon, oxyfluorfen, paraquat, pendimethalin, phenmedipham, piperophos, pretilachlor, primisulfuron(-methyl), prometryn, 15 propachlor, propanil, propaquizafop, propyzamide, prosulfocarb, prosulfuron, pyrazosulfuron(-ethyl), pyrazolate, pyrazoxyfen, pyributicarb, pyridate, pyrithiobac(-sodium) quinchlorac, quinmerac, quizalofop(-ethyl), quizalofop(-ptefuryl), rimsulfuron, sethoxydim, simazine, simetryn, sulcotrione, sulfentrazone, sulfometuron(-methyl), sulfosate, tebutam, tebuthiuron, terbuthylazine, terbutryn, 20 thenylchlor, thiafluamide, thiazopyr, thidiazimin, thifensulfuron(-methyl), thiobencarb, tiocarbazil, tralkoxydim, triallate, triasulfuron, tribenuron(-methyl), triclopyr, tridiphane, trifluralin and triflusulfuron.

Mixtures with other known active compounds, such as fungicides, insecticides, acaricides, nematicides, bird repellents, plant nutrients and agents which improve soil structure, are also possible.

The active compounds can be used as such, in the form of their formulations or in the use forms prepared therefrom by further dilution, such as ready-to-use solutions, suspensions, emulsions, powders, pastes and granules. They are used in the customary manner, for example by watering, spraying, atomizing or scattering.

30

The active compounds according to the invention can be applied either before or after emergence of the plants. They can also be incorporated into the soil before sowing.

The amount of active compound used can vary within a substantial range. It depends essentially on the nature of the desired effect. In general, the amounts used are between 1 g and 10 kg of active compound per hectare of soil surface, preferably between 5 g and 5 kg per ha.

The preparation and use of the active compounds according to the invention can be seen from the Examples below.

### **Preparation Examples:**

#### Example 1

5

10

15

20

#### (Process (a))

At 20°C to 30°C, a saturated solution of 6.0 g (0.11 mol) of sodium methoxide in methanol is added dropwise with stirring to a mixture of 31.5 g (0.10 mol) of (R/S)-1-(1-methyl-3-(4-methylthio-phenyl)-propyl)-biguanide hydrochloride (racemic), 15.5 g (0.10 mol) of ethyl trifluoroacetate and 150 ml of methanol and the reaction mixture is then stirred at approximately 20°C for about 20 hours. The mixture is then diluted with methylene chloride to about three times its volume, washed with water and then with 1N aqueous sodium hydroxide solution, dried with sodium sulphate and filtered. The solvent is carefully distilled off from the filtrate using water pump vacuum.

This gives 12.1 g (34% of theory) of (R/S)-2-amino-4-(1-methyl-3-(4-methylthio-phenyl)-propylamino)-6-trifluoromethyl-1,3,5-triazine (racemate) as an amorphous residue.

#### Example 2

(Process (b))

25

At 20°C to 30°C, 5.7 ml of a 25% strength aqueous solution of ammonia is added dropwise with stirring to a mixture of 5.4 g (18.2 mmol) of (R/S)-2,4-dichloro-6-(1-methyl-3-phenyl-propylamino)-1,3,5-triazine (racemic) and 35 ml of tetrahydrofuran and the reaction mixture is then stirred at approximately 20°C for about 4 hours. The mixture is concentrated using water pump vacuum and the residue is then shaken with ethyl acetate/saturated aqueous sodium chloride solution, the organic phase is separated off and the aqueous phase is extracted with ethyl acetate; the organic phases are combined, dried with sodium sulphate and filtered. The filtrate is concentrated using water pump vacuum and the residue is crystallized by digestion with ethyl acetate/hexane. The crystalline product is then isolated by filtration with suction.

This gives 4.3 g (85% of theory) of (R/S)-2-amino-4-chloro-6-(1-methyl-3-phenyl-propylamino)-1,3,5-triazine (racemate) of melting point 115°C.

#### Example 3

5

10

15

25

### 20 (Subsequent reaction)

At 20°C to 30°C, 4.6 g (about 17 mmol) of 3-chloro-perbenzoic acid (technical grade) are added with stirring to a mixture of 6.0 g (16.8 mmol) of (R/S)-2-amino-4-(1-methyl-3-(4-methylthio-phenyl)-propylamino)-6-trifluoromethyl-1,3,5-triazine (racemic) and 100 ml of dichloromethane and the reaction mixture is stirred at approximately 20°C for 1 hour. The mixture is then filled up with water to give about twice its original volume and is made alkaline using ammonia water. The organic phase is then separated off, dried with sodium sulphate and filtered. The filtrate is

concentrated using water pump vacuum and the residue is digested with ligroin/ethanol. The crystalline product is then isolated by filtration with suction.

This gives 4.2 g (67% of theory) of (R/S)-2-amino-4-(1-methyl-3-(4-methylsulphinyl-phenyl)-propylamino)-6-trifluoromethyl-1,3,5-triazine (racemate) of melting point 165°C.

#### Example 4

5

10

15

20

25

(Subsequent reaction)

At 20°C to 30°C, 14 g (about 55 mmol) of 3-chloro-perbenzoic acid (technical grade) are added with stirring to a mixture of 9.0 g (25 mmol) of (R/S) 2-amino-4-(1-methyl-3-(4-methylthio-phenyl)-propylamino)-6-trifluoromethyl-1,3,5-triazine (racemic) and 150 ml of dichloromethane and the reaction mixture is stirred at approximately 20°C for 2 hours. The mixture is then filled up with water to about twice its original volume and made alkaline with ammonia water. The organic phase is then separated off, dried with sodium sulphate and filtered. The solvent is carefully distilled off from the filtrate using water pump vacuum.

This gives 9.0 g (92% of theory) of (R/S)-2-amino-4-(1-methyl-3-(4-methylsulphonyl-phenyl)-propylamino)-6-trifluoromethyl-1,3,5-triazine (racemate) as an amorphous residue.

### Example 5

### 5 (Subsequent reaction)

A mixture of 1.0 g (3.6 mmol) of (R/S)-2-amino-4-chloro-6-(1-methyl-3-phenyl-propylamino)-1,3,5-triazine (racemic), 0.84 g (7.5 mmol) of potassium t-butoxide, 10 ml of 2,2,2-trifluoro-ethanol and 5 ml of dioxane is stirred at approximately 70°C for 4 hours and subsequently concentrated using water pump vacuum. The residue is shaken with ethyl acetate/water, the organic phase is separated off and the aqueous phase is re-extracted. The combined organic phases are dried with sodium sulphate and filtered. The solvent is carefully distilled off from the filtrate using water pump vacuum.

15

20

10

This gives 0.98 g (80% of theory) of (R/S)-2-amino-4-(2,2,2-trifluoro-ethoxy)-6-(1-methyl-3-phenyl-propylamino)-1,3,5-triazine (racemate) as an amorphous residue.

By the methods of Preparation Examples 1 to 5 and in accordance with the general description of the preparation processes according to the invention, it is also possible to prepare, for example, the compounds of the formula (I) listed in Table 1 below.

$$Z \xrightarrow{NH_{2}} N \xrightarrow{R^{1}} Y \qquad (I)$$

<u>Table 1</u>: examples of compounds of the formula (I) where  $R^1 = CH_3$ 

- in the compounds listed in Table 1, R<sup>1</sup> always represents methyl and is therefore not mentioned for the individual examples in the table.

2	Y	Z	Physical data and
		1	1
			stereochemical
			specifications
		OCH <sub>3</sub>	(amorphous)
			(racemate)
		SCH <sub>3</sub>	(amorphous)
			(racemate)
		CF(CH <sub>3</sub> ) <sub>2</sub>	(amorphous)
			(S enantiomer)
			$[\alpha]_{D}^{20} = -14.20^{\circ}$
		CF(CH <sub>3</sub> ) <sub>2</sub>	(amorphous)
			(R enantiomer)
			$[\alpha]_{D}^{20} = +12.95^{\circ}$
НЗ		CF(CH <sub>3</sub> ) <sub>2</sub>	(amorphous)
			(diastereomer
	-		mixture)
		CHFCH <sub>3</sub>	(amorphous)
			(R enantiomer)
	~		$[\alpha]_{D}^{20} = +27.59^{\circ}$
		CF <sub>3</sub>	(amorphous)
		1	(R enantiomer)
	~		$[\alpha]_{D}^{20} = +30.90^{\circ}$
	Нз	13 \(\)	SCH <sub>3</sub> CF(CH <sub>3</sub> ) <sub>2</sub> CF(CH <sub>3</sub> ) <sub>2</sub> CF(CH <sub>3</sub> ) <sub>2</sub> CF(CH <sub>3</sub> ) <sub>2</sub> CHFCH <sub>3</sub>

Table 1 (continued)

Ex. No.	R <sup>2</sup>	Y	Z	Physical data and stereochemical specifications
14	Н		CF <sub>3</sub>	(amorphous) (S enantiomer) $[\alpha]_{D}^{20} = -27.05^{\circ}$
15	Н		CF(CH <sub>3</sub> ) <sub>2</sub>	(amorphous) (racemate)
16	Н	OCH3	CF <sub>3</sub>	M.p.: 68°C (racemate)
17	Н	OCH <sub>3</sub>	CF <sub>3</sub>	(amorphous) (R enantiomer)
18	Н	OCH <sub>3</sub>	CF <sub>3</sub>	(amorphous) (S enantiomer)
19	Н		C <sub>2</sub> F <sub>5</sub>	(racemate)
20	Н		CHFCF <sub>3</sub>	(racemate)
21	Н		CHCl <sub>2</sub>	(racemate)
22	Н		CH <sub>2</sub> Cl	(racemate)

# Table 1 (continued)

Ex. No.	R <sup>2</sup>	Y	Z	Physical data and
				stereochemical
				specifications
23	Н		CCl <sub>2</sub> CH <sub>3</sub>	
,				(racemate)
24	Н		CHClCH <sub>3</sub>	
				(racemate)
25	Н		CH <sub>2</sub> OCH <sub>3</sub>	
				(racemate)
26	Н	CH <sub>3</sub>	CF(CH <sub>3</sub> ) <sub>2</sub>	·
				(racemate)
		CH₃	· · · · · · · · · · · · · · · · · · ·	
27	Н	_0\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	CF <sub>3</sub>	
		N C(CH <sub>3</sub> ) <sub>3</sub>		(racemate)
28	Н	0	CH(CH <sub>3</sub> ) <sub>2</sub>	
	**	N		(racemate)
		N C(CH <sub>3</sub> ) <sub>3</sub>		
29	Н	<b>^</b> 0 <b>√</b> N	CF(CH <sub>3</sub> ) <sub>2</sub>	
		N C(CH <sub>3</sub> ) <sub>3</sub>		(racemate)
		3,3		
30	Н		CHFCH <sub>3</sub>	(amorphous)
		SCH <sub>3</sub>		(racemate)
		3		
31	Н		CHFCH <sub>3</sub>	(amorphous)
		SO-CH <sub>3</sub>		(racemate)

<u>Table 1</u> (continued)

Ex. No.	R <sup>2</sup>	Y	Z	Physical data and stereochemical specifications
32	Н	SO <sub>2</sub> -CH <sub>3</sub>	CHFCH₃	(amorphous) (racemate)
33	Н	SCH <sub>3</sub>	CF(CH <sub>3</sub> ) <sub>2</sub>	M.p.: 71°C (racemate)
34	Н	SO-CH <sub>3</sub>	CF(CH <sub>3</sub> ) <sub>2</sub>	(amorphous) (racemate)
35	Н	SO₂-CH₃	CF(CH <sub>3</sub> ) <sub>2</sub>	(amorphous) (racemate)
36	Н	N CI	CF <sub>3</sub>	M.p.: 80°C (racemate)
37	Н	N CI	CHFCH₃	(amorphous) (racemate)
38	Н	N CI	CF(CH <sub>3</sub> ) <sub>2</sub>	(amorphous) (racemate)
39	Н	N N N N N N N N N N N N N N N N N N N	CF <sub>3</sub>	(amorphous) (racemate)
40	Н	N N N N N N N N N N N N N N N N N N N	CHFCH <sub>3</sub>	(amorphous) (racemate)

Table 1 (continued)

Ex. No.	R <sup>2</sup>	Y	Z	Physical data and
				stereochemical
				specifications
41	H		CF(CH <sub>3</sub> ) <sub>2</sub>	(amorphous)
		N N		(racemate)
42	Н		CF(CH <sub>3</sub> ) <sub>2</sub>	(amorphous)
		F F		(racemate)
43	Н	CH <sub>3</sub>	CF(CH <sub>3</sub> ) <sub>2</sub>	(amorphous)
·		CH <sub>3</sub>		(racemate)
44	Н		CF <sub>2</sub> CHF <sub>2</sub>	
				(racemate)
45	Н	CH <sub>3</sub>	CF(CH <sub>3</sub> ) <sub>2</sub>	(amorphous)
				(racemate)
46	Н	CH <sub>3</sub>	CF(CH <sub>3</sub> ) <sub>2</sub>	(amorphous)
				(racemate)
47	Н		CF(CH <sub>3</sub> ) <sub>2</sub>	(amorphous)
		CH <sub>3</sub>		(racemate)
48	Н		CF(CH <sub>3</sub> ) <sub>2</sub>	M.p.: 92°C
		CI		(racemate)
49	Н	CI I	CF(CH <sub>3</sub> ) <sub>2</sub>	(amorphous)
				(racemate)
50	Н	CI	CF(CH <sub>3</sub> ) <sub>2</sub>	(amorphous)
				(racemate)

Table 1 (continued)

Ex. No.	R <sup>2</sup>	Y	Z	Physical data and
LA. 110.	``			stereochemical
	ļ 			specifications
51	H		-CO-CH <sub>3</sub>	(amorphous)
				(Racemat
52	Н	_	CF <sub>3</sub>	(amorphous)
		s		(racemate)
53	Н		CHFCH <sub>3</sub>	(amorphous)
		s		(racemate)
54	Н		CH <sub>2</sub> OCH <sub>3</sub>	M.p.: 85°C
		SCH <sub>3</sub>		(racemate)
55	H		CH <sub>2</sub> OCH <sub>3</sub>	(amorphous)
		SO-CH <sub>3</sub>		(racemate)
56	Н		CH <sub>2</sub> OCH <sub>3</sub>	(amorphous)
		SO <sub>2</sub> -CH <sub>3</sub>	2 3	(racemate)
57	Н	N	CF <sub>3</sub>	(amorphous)
				(racemate)
58	Н	N	CHFCH <sub>3</sub>	(amorphous)
				(racemate)
59	Н	N	CF(CH <sub>3</sub> ) <sub>2</sub>	(amorphous)
				(racemate)

Table 1 (continued)

Ex. No.	R <sup>2</sup>	Y	Z	Physical data and stereochemical
60	Н	s s	CF <sub>3</sub>	specifications (amorphous) (racemate)
61	Н	s s	CHFCH <sub>3</sub>	(amorphous) (racemate)
62	Н	s s	CF(CH <sub>3</sub> ) <sub>2</sub>	(amorphous) (racemate)
63	Н	s	CF(CH <sub>3</sub> ) <sub>2</sub>	(amorphous) (racemate)
64	Н	Z	CF(CH <sub>3</sub> ) <sub>2</sub>	(amorphous) (racemate)
65	Н	s s	CH <sub>2</sub> OCH <sub>3</sub>	(amorphous) (racemate)
66	Н	s	CH <sub>2</sub> OCH <sub>3</sub>	(amorphous) (racemate)
67	Н		CF <sub>3</sub>	(amorphous) (racemate)
68	Н		CH(CH <sub>3</sub> ) <sub>2</sub>	$n_D^{20} = 1,5682$ (racemate)
69	Н	N	CF <sub>3</sub>	(amorphous) (racemate)
70	Н	N	CHFCH <sub>3</sub>	(amorphous) (racemate)

Table 1 (continued)

Ex. No.	R <sup>2</sup>	Y	Z	Physical data and stereochemical specifications
71	Н	s	CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>	(amorphous) (racemate)
72	H	s	CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>	(amorphous) (racemate)
73	Н	s s	CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>	(amorphous) (racemate)
74	Н	s	CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>	(amorphous) (racemate)
75	H		CH(CH <sub>3</sub> )(C <sub>3</sub> H <sub>7</sub> )	(amorphous) (racemate)

# Starting materials of the formula (II):

#### Example (II-I):

5

10

15

At approximately 140°C (internal temperature, bath temperature approximately 175°C), a mixture of 23.2 g (0.10 mol) of (R/S)-1-methyl-3-(4-methylthio-phenyl)-propylamine hydrochloride (racemic), 8.5 g (0.10 mol) of dicyanodiamide (cyanoguanidine) and 100 ml of dichlorobenzene is stirred for 3 hours. The solvent is then carefully distilled off using oil pump vacuum.

The (R/S)-1-(1-methyl-3-(4-methylthio-phenyl)-propyl)-biguanide hydrochloride (racemate) is obtained as an amorphous residue which can be used for the reaction according to process (a) without further purification.

The reaction can be carried out at the same temperature even without solvent - i.e. in the melt.

By the method of Example ( $\Pi$ -I), it is also possible to prepare, for example, the compounds of the formula (II) listed in Table 2 below, or their hydrochlorides.

<u>Table 2:</u> examples of compounds of the formula (II), where  $R^1 = CH_3$ 

- in all instances, these are the corresponding hydrochlorides!

Ex. No.	R <sup>2</sup>	Y	Physical data and
			stereochemical
			specifications
II-2	Н		(amorphous)
			(R enantiomer)
П-3	Н		(amorphous)
			(S enantiomer)
П-4	CH <sub>3</sub>		(amorphous)
			(diastereomer
			mixture)

# Starting materials of the formula (IV):

# Example (IV-1)

5

10

15

With stirring, a solution of 16.34 g (0.11 mol) of (R/S)-1-methyl-3-phenyl-propylamine and 14.2 g (0.11 mol) of ethyldiisopropylamine in 20 ml of tetrahydrofuran is added to a mixture, cooled to -40°C to -50°C, of 20.2 g (0.11 mol) of cyanuric chloride (2,4,6-trichloro-1,3,5-triazine) and 80 ml of tetrahydrofuran. The reaction mixture is stirred at the temperature mentioned above for 30 minutes and then for another 30 minutes at room temperature (approximately 20°C). The mixture is concentrated and the residue is then shaken with diethyl ether/saturated aqueous ammonium chloride solution, the organic phase is separated off and the aqueous phase is re-extracted, the combined organic phases are dried with sodium sulphate and filtered. The filtrate is concentrated using water pump vacuum, the residue is digested with petroleum ether/methyl t-butyl ether and the crystalline product is isolated by filtration with suction.

20

This gives 27.5 g (84% of theory) (R/S)-2,4-dichloro-6-(1-methyl-3-phenyl-propylamino)-1,3,5-triazine (racemate) of melting point 79°C.

# Starting materials of the formula (V):

## Example (V-1)

# Step 1

10

15

20

5

A mixture of 8.0 g (0.08 mol) of pentane-2,4-dione, 14.5 g (0.08 mol) of 4-methylthio-benzyl chloride, 12 g of potassium carbonate and 100 ml of ethanol is heated under reflux for 15 hours. After cooling, the mixture is diluted to about three times the original volume using approximately identical amounts by volume of water and methylene chloride, the organic phase is separated off after vigorous shaking, dried with sodium sulphate and filtered. The filtrate is concentrated using water pump vacuum and the residue is distilled using oil pump vacuum.

This gives 8.2 g (60% of theory) of 1-(4-methylthio-phenyl)-butan-3-one of boiling point 105°C (at 2 mbar).

## Step 2

At room temperature (approximately 20°C), 2.0 g of sodium hydroxide are added to a mixture of 7.2 g (0.04 mol) of 1-(4-methylthio-phenyl)-butan-3-one, 4.0 g hydroxylamine-hydrochloride (0.058 mol) and 50 ml of ethanol and the mixture is stirred at 20°C to 35°C for 2 hours. The mixture is diluted to about three times the original volume using approximately identical amounts by volume of water and methylene chloride and the organic phase is separated off after vigorous shaking, dried with sodium sulphate and filtered. The solvent is carefully distilled off from the filtrate using water pump vacuum.

This gives 4.0 g (48% of theory) of 1-(4-methylthio-phenyl)-butan-3-one oxime as a solid residue of melting point 71°C.

#### Step 3

15

20

5

A solution of 63 g (0.3 mol) of 1-(4-methylthio-phenyl)-butan-3-one-oxime in 200 ml of tetrahydrofuran is added dropwise with stirring to a mixture of 25 g of lithium tetrahydridoaluminate (lithiumalanate, lithiumaluminium hydride) and 300 ml of tetrahydrofuran, the internal temperature rising from initially about 20°C to approximately 60°C. The reaction mixture is then stirred under reflux for 5 hours. At 20°C to 40°C, 100 ml of water are then added dropwise. The organic phase is then separated off, dried with sodium sulphate and filtered. The filtrate is concentrated using water pump vacuum and the residue is distilled using oil pump vacuum.

25

This gives 42 g (72% of theory) of (R/S)-1-methyl-3-(4-methylthio-phenyl)-propylamine (racemate) of melting point 112°C (at 1 mbar).

## Example (V-2)

## 5 Step 1

10

15

25

A mixture of 8.0 g (0.08 mol) of pentane-2,4-dione, 14.5 g (0.08 mol) of 4-methylthio-benzyl chloride, 12 g of potassium carbonate and 100 ml of ethanol is heated under reflux for 15 hours. After cooling, the mixture is diluted to about three times the original volume using approximately identical amounts by volume of water and methylene chloride, the organic phase is separated off after vigorous shaking, dried with sodium sulphate and filtered. The filtrate is concentrated using water pump vacuum and the residue is distilled using oil pump vacuum.

This gives 8.2 g (60% of theory) of 1-(4-methylthio-phenyl)-butan-3-one of boiling point 105°C (at 2 mbar).

## 20 <u>Step 2</u>

Over a period of approximately 60 minutes, 70 ml of formic acid are added dropwise with stirring to a mixture, heated to 140°C, of 83 g (0.46 mol) of 1-(4-methylthio-phenyl)-butan-3-one, 300 ml formamide and 10 ml of formic acid. The reaction

mixture is stirred at 140°C to 150°C for approximately 3 hours and, after cooling, diluted to about three times the original volume using identical amounts by volume of water and methylene chloride and shaken vigorously. The organic phase is then separated off, dried with sodium sulphate and filtered. The solvent is carefully distilled off from the filtrate using water pump vacuum.

This gives 94 g (92% of theory) of (R/S)-N-(1-methyl-3-(4-methylthio-phenyl)-propyl)-formamide (racemate) as an amorphous residue which can be used for the next step without further purification.

10

15

20

5

## Step 3

A mixture of 67 g (0.3 mol) of (R/S)-N-(1-methyl-3-(4-methylthio-phenyl)-propyl)-formamide (racemic) and 250 ml of conc. hydrochloric acid is heated under reflux for approximately 150 minutes. After cooling with ice-water, the mixture is made alkaline using 2N aqueous sodium hydroxide solution, shaken with methylene chloride, and the organic phase is separated off, dried with sodium sulphate and filtered. The filtrate is concentrated using water pump vacuum and the residue is distilled using oil pump vacuum.

This gives 40 g (68% of theory) of (R/S)-1-methyl-3-(4-methylthio-phenyl)-propylamine(racemate) of boiling point 105°C (at 0.8 mbar).

## Example (V-3)

11 ml of 33% strength hydrochloric acid are added dropwise with stirring to a mixture of 20 g (0.1 mol) of (R/S)-1-methyl-3-(4-methylthio-phenyl)-propylamine(racemic) and 20 ml of water and the mixture is stirred at room temperature for approximately 1 further hour. The solvent is then carefully distilled off under water pump vacuum, giving (R/S)-1-methyl-3-(4-methylthio-phenyl)-propylamine hydrochloride (racemate) quantitatively as a solid residue.

#### Example (V-4)

15 Isolation of the enantiomers:

20

11.9 g (0.08 Mol) of (R/S)-1-methyl-3-phenyl-propylamine are initially charged with 34 ml of methyl t-butyl ether and 8.32 g (0.08 mol) of methyl methoxy acetate and admixed with 0.42 g of Novozym-435®. The mixture is stirred at room temperature (approximately 20°C) for 2 hours and then filtered and washed with 25 ml of methyl t-butyl ether. The filtrate is shaken three times with 30 ml of 10% strength aqueous hydrochloric acid each time and then dried with sodium sulphate and filtered. The solvent is carefully distilled off from the filtrate using water pump vacuum.

This gives 7.4 g (96.25 % ee, 84% of theory) of (R)-N-methoxyacetyl-1-methyl-3-phenyl-propylamine, which is then heated under reflux with 50 ml of 18% strength

aqueous hydrochloric acid for 4 hours. Work-up gives 5.0 g (96% ee, 98% of theory) of (R)-1-methyl-3-phenyl-propylamine.

The three aqueous hydrochloric solutions obtained according to the above description are combined and made alkaline with ice-cooling using 10% strength aqueous sodium hydroxide solution. The mixture is then extracted three times with 50 ml of methylene chloride each time. The combined organic extract solutions are dried with sodium sulphate and filtered. The solvent is carefully distilled off from the filtrate using water pump vacuum.

10

5

This gives 4.1 g (71.3% ee, 69% of theory) of (S)-1-methyl-3-phenyl-propylamine as a solid residue.

## **Use Examples:**

#### Example A

5 Pre-emergence-test

Solvent:

5 parts by weight of acetone

Emulsifier:

1 part by weight of alkylaryl polyglycol ether

To produce a suitable preparation of active compound, I part by weight of active compound is mixed with the stated amount of solvent, the stated amount of emulsifier is added and the concentrate is diluted with water to the desired concentration.

Seeds of the test plants are sown in normal soil. After about 24 hours, the soil is watered with the preparation of active compound. The amount of water per unit area is advantageously kept constant. The concentration of active compound in the preparation is immaterial, only the application rate of active compound per unit area matters.

20

After three weeks, the degree of damage to the plants is scored visually in % damage in comparison to the development of the untreated control.

The figures denote:

25

30

0 % = no effect (like untreated control)

100 % = total destruction

In this test, the compounds of Preparation Examples 5, 7, 9, 11, 12, 15, 52, 53, 59, 60, 61, 62 and 63, for example, show strong activity against weeds, and some of them are tolerated well by crop plants, such as, for example, maize, wheat barley, rapeseed and cotton (cf. Table A).

In the tables below, "ai" means "active ingredient".

	ı	
	Galium	
	Maize Amaranthus	
	Maize	
	Application rate (g of ai./ha)	
Table A: Pre-emergence-test/greenhouse	Active compound of Preparation Ex. No	$\begin{array}{c} S - CH_3 \\ N \\ N \\ N \\ N \\ H_2N \\ N \\ H \end{array}$

$\overline{}$
~
$\simeq$
⊏
=
=
0
$\mathcal{L}$
ع
اس
) V
) V
c A (c
lc /
lc /
lc /
Table A (c

u.	
Xanthium	90
Sinapis	80
Galium	80
Ama- ranthus	 100
Abutilon	80
Application rate (g of ai./ha)	1000
Active compound of Preparation Ex. No	(5)

$\overline{}$
Ó
ä
Ħ
∵≡
Ξ
္က
$\subseteq$
K
ပ
9
ab

ا				
Viola		100		100
Datura Matri- Viola caria		001		001
Datura		1		001
Echino- Ama- chloa ranthus		001		001
Echino- chloa		95		95
Digi- taria		06		001
Alope- curus		95		100
Cotton		O	·	0
Barley		0		15
Application rate Barley Cotton Alope- Digi- (g of ai./ha) curus taria		125		250
Active compound of Preparation Ex. No	H <sub>3</sub> C F N H N CH <sub>3</sub>	(6)	CH <sub>3</sub> CH <sub>3</sub> N  N  N  N  N  N  N  N  N  N  N  N  N	(11)

$\overline{}$
-
$\sim$
•
=
Ξ
:=
=
٠-
0
~~
. •
$\overline{}$
۷ الا
<u> </u>
Y S
le A
ole A (
ble A (
able A (
Table A (

Active compound of Preparation Ex. No	Application rate Barley Rape- Digi- (g of ai./ha) seed taria	Barley	Rape-	Digi- taria	Echino- chloa	Ama- ranthus	Cheno- podium	Matri- caria	Viola
<b>u</b> . —									
HN NH									
CH,									
(13)	200	0	0	100	06	001	100	•	001

-		2
	ď	٥
	Ē	3
	2	=
•	-	=
	7	Ξ
	7	5
	Journal	1
	`	_
		_
	٥	C
		7
	٩	د
	٩	د
	٩	د
	٩	د
		د
	٩	د

Active compound of Preparation Ex. No	Application rate Barley Rape- Digi- Echino- Ama- (g of ai./ha) seed taria chloa ranthus	Barley	Rape- seed	Rape- Digi- I seed taria	Echino- chloa	Ama- ranthus	3chino- Ama- Cheno- chloa ranthus podium	Matri- caria	Viola
-									
F CH <sub>3</sub>									
£ :									
z=( z=( 5-( (									
Z I									
(15)	125	. 0	0	001	06	100	100	001	100

continued)
¥
Table

Active compound of Preparation Ex. No.	Application rate Wheat (g of ai./ha)	Wheat	Digitaria	Digitaria Echino- chloa	Amaran- thus	Datura	Solanum	
HN NH2						-		
A. S.								
(52)	200	0	100	001	100	100	100	
HON N								
S H N NH <sub>2</sub> S (53)	250	. 0	001	001	001	001	001	

-
C.J
_
=
Ξ
_
_
_
_
Ξ
_
( )
_
$\overline{}$
$\subseteq$
$\subseteq$
۳
٢
A
A (C
A ((
) A (
e A ((
le A (0
le A (c
ole A (c
ble A (c
thle A (c
able A (c
able A (c
Fable A (c
Table A (c
Table A (c

Datura Solanum		95 100
Amaran- thus		001
Echino- chloa		001
Digitaria		001
Wheat	·	0
Application rate Wheat Digitaria Echino- Amaran- (g of ai./ha) chloa thus		200
Active compound of Preparation Ex. No	CH <sub>3</sub>	(09)

~,

	•	
	٩	د
	-	4
	=	_
	c	Ξ
	-	-
		٠
	c	=
	7	₹
	١,	,
	٥	3
`	•	_
`		_
	4	2
•	9	١,
		١,
	0	ر
		``
		``
		``
		``
		١,

ı		
Amaranthus		06
Abutilon		100
Alopecurus		95
Application rate Alopecurus (g of ai./ha)		1000
Active compound of Preparation Ex. No	HO NI	(59)

ũ
Ĭ
=
=
0
ဗ
$\overline{}$
A (
le A (
<u>e</u>

Datura Solanum		001
Datura		001
Amaran- thus		80
Echino- chloa		001
Digi- taria		06
Cotton		0
Wheat		0
Application rate Wheat Cotton Digi- (g of ai./ha) taria		250
Active compound of Preparation Ex. No.	HO N	N H S

(62)

_	
Ξ	
Ā	
ble	
_	ı

Active compound of Preparation	Application rate Barley Digi-	Barley	Digi-		Echino- Amaran-	Datura	Datura Solanum	
Ex. No	(g of ai./ha)		taria	chloa	thus			
ĊH								
ř.								
Z- HO-								
( ( (								
TAN NAT								
- o								

(continued)	
-	
<.	
e)	
3	
Tab	

Active compound of Preparation	Application rate	Alope-	Setaria	Abuti-	Amaran-	Galium
Ex. No.	(g of ai./ha)	curus		lon	thus	
					:	

# Example B

Post-emergence-test

5 Solvent:

5 parts by weight of acetone

Emulsifier:

1 part by weight of alkylaryl polyglycol ether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent, the stated amount of emulsifier is added and the concentrate is diluted with water to the desired concentration.

Test plants which have a height of 5-15 cm are sprayed with the preparation of active compound such that the particular amounts of active compounds desired are applied per unit area. The concentration of the spray liquor is chosen so that the particular amounts of active compound desired are applied in 1000 l of water/ha.

After three weeks, the degree of damage to the plants is scored visually in % damage in comparison to the untreated control.

20

10

15

The figures denote:

0 % = no effect (like untreated control)

100% = total destruction

25

In this test, the compounds of Preparation Examples 2, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 18, 52, 53, 60, 61, 62, 63 and 67, for example, show strong activity against weeds, and some of them are tolerated well by crop plants, such as, for example, maize, wheat, barley and rapeseed (cf. Table B).

Table B: Post-emergence-test/greenhouse

ned	
contir	
B (c	١
able	
	ı

Active compound of Preparation

Ex. No

Application rate Amaranthus Sinapis

(g ai./ha)

95

100

•	7	3
	7	≺
	2	2
	-	•
	2	=
•	-	-
	=	=
	Ξ	=
	c	)
	٤	ā
	-	-
	_	_
	Y	_
(	Y	1
•	Y	֝֝֝֝֝֝֝֝֝֝֝֝ נ
	_	ב
	9	2 2 5
	٥	
	9	
	٥	֡֝֝֝֝֝֝֝ כ

Active compound of Preparation	Application rate Wheat Rape- Ama-	Wheat	Rape-	Ama-	Cheno-	-odI	Poly-	Sola-
Ex. No	(g ai./ha)		seed		ranthus podium	moea	mnuog	unu
S-CH <sub>3</sub> N N N N N N N N N N N N N N N N N N N								

•	₹	3
	ē	Ś
	=	ź
	7	=
	Ξ	=
٠.	-	-
	₽	=
	^	5
	7	٠.
,	٤	ر
,	_	ر
	\ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \	ב
•	~	2
6	×	יב
•	Y 0	2
	2 4 4	ションこ
	7 0 0 0	
	2 2 2 2 2 2	

Application rate Wheat Echino- Setaria Ama- Cheno- Ipo- Poly- Sola-	chloa ranthus podium moea gonum num		56 56 56 65 65 65	
Application ra	(g ai./ha)		250	
Active compound of Preparation	Ex. No	H <sub>3</sub> C F N H	(8)	[S-Enantiomer]

(9)
[R-Enantiomer]

continued)	
Table B (	

Active compound of Preparation	Application rate Cyperus Amaran- Galium Sinapis	Cyperus	Amaran-	Galium	Sinapis	
Ex. No	(g ai./ha)		thus			
H <sub>3</sub> C F N H N CH <sub>3</sub>						

....

	_	٠
	•	,
	e	ì
	2	•
	Ξ	3
	7	
	٠.	-
	-	-
	-	•
	•	-
	Ş	=
	c	2
	ī	``
•	-	-
•	-	_
,	~	_
•	~	_
•	~	_
•	ر م	_
•	7	_
•	7 9	_
•	7 9 9	_
•	7 9 9 9	_
	7 9 9 9 6	_
	7 9 9 5	_
	7 9 95	_

	_	
Vero- nica		001
		001
lpo- moea		001
Datura Ipo- Poly- moea gonum		001
Ama- ranthus		95
Maize		01
Application rate Maize Ama- (g ai./ha) ranthus		250
Active compound of Preparation Ex. No	H <sub>2</sub> N N CH <sub>3</sub> H <sub>3</sub> C CH <sub>3</sub>	(01)

,

Table B (continued)

Sola-	unu		100
Poly-	mnuog		100
-odI	moea		95
Cheno- Datura Ipo-			100
Cheno-	ranthus podium		001
Ama-	ranthus		95
Wheat			30
Application rate Wheat Ama-	(g ai./ha)		125
Active compound of Preparation	Ex. No	CH <sub>3</sub> CH <sub>3</sub> N  H  N  N  NH <sub>2</sub>	(11)

a.

Table B (continued)

1		
Sola- num		100
Poly- gonum		100
Ipo- moea		95
Cheno- Datura podium		100
Ama- Cheno- ranthus podium		100
Ama- ranthus		100
Wheat		0
Application rate Wheat (g ai./ha)		250
Active compound of Preparation Ex. No	HN NH N	(12)

$\overline{}$	
g	
Ž	
÷Ξ	
0	
၁	
В	ı
<u>e</u>	
ap	
ũ	

Active compound of Preparation Ex. No	Application rate Wheat Ama- (g ai./ha) ranthus	Wheat	Ama- ranthus	Ama- Cheno-ranthus podium	Datura Ipo- moea	Ipo- moea	Poly- gonum	Sola- num
, G							·	
Ñ Z; N- N- N-								
N NH2								
(15)	250	0	95	. 95	100	100	001	001

•		-
•	ī	3
	2	₹
		ر
	_	5
	-	۰
	-	-
	-	-
٠	-	-
٠	_	_
	-	-
	-	=
	č	כ
	٤	₹
,	١	
`		_
`	-	
	-	
	~	_
•	-	2
•	-	2
•	-	2
•	-	2
`	-	2
•	-	2
`	-	2
	-	

tive compound of Preparation . No	Application rate Setaria Abu- Ama- (g ai./ha) tilon ranthus	Setaria	Abu- tilon	Abu- Ama- tilon ranthus	Galium	Sinapis	Galium Sinapis Xanthium	
LHZ N N N N N N N N N N N N N N N N N N N								
(13)	1000	100	100	100	100	001	100	

به	
≘	
=	
-=	
⊆	
0	
( )	
. ~	,
٣	
(E)	
le B (	
hle B (	
able B (	

Active compound of Preparation	Application rate Setaria Abu- Ama- Galium Sinapis Xanthium	Setaria	Abu-	Ama-	Galium	Sinapis	Xanthium	
Ex. No	(g ai./ha)		tilon	ranthus				
<b>L</b>								
ш. Н								
z: Z:								
-\(\)								

•	٠	3	
	ř	۲.	
	2	۷	
	-	ن	
	σ	3	
٠	-	=	
	=	Ξ	
	۲	=	
	c	2	
	ē	5	
	_	_	
•	-	-	
,	~	-	
•	~	2	
•	` Y	ב נ	
	` `	202	
	\ \ \ \	2	
	7 9 9	2	
	7	2	
	7		

Viola		100
Cheno- podium		100
Amaran- thus		100
Setaria		95
Echino- chloa		100
Barley		10
Application rate Barley Echino- Setaria Amaran- Cheno- Viola (g ai./ha) chloa thus podium		200
Active compound of Preparation Ex. No	S NHZ NHZ NHZ NHZ NHZ NHZ NHZ NHZ	(52)

٠	0	֓֞֝֞֝֟֝֝֟֝֟֝֟֝֟֝֟֝֝֟֝֟֝ ֓֓֓֓֞֓֓֞֩֓֞֩֞֞֩֞֞֩֞֞֞֩֞֞֩֞֞֞֞֞֩֞֞֩
•	=	Ξ
	5	5
•	_	2
•	Y	_
	Y	_
	_	ביני

Active compound of Preparation	Application rate Echino-	Echino-	Setaria	Setaria Amaran-	Cheno-	Viola	
	(g ai./ha)	chloa		thus	podium		
HO ZI							
(53) $-$ $-$ $-$ $-$ $-$ $-$ $-$ $-$ $-$ $-$	200	100	06	001	001	001	
(09)	200	001	100	100	100	100	

•	τ	7
	חשווח	j
	=	1
	2	
•	-	٦.
	۶	
	200	5
	`	•
	•	-
Ç	~	
۵	<u>۲</u>	
	٩	)
	٩	)
	٩	

Active compound of Preparation Ex. No	Application rate Digitaria (g ai./ha)	Digitaria	Setaria	Amaran- thus	Cheno- podium	Viola	
H <sub>3</sub> C OF 3							
(16)	200	06	100	100	100	100	
Z=\ N_2 \ Z=\				·			
Z Z Z Z Z							
(14)	200	70	001	001	001	001	

Table B (continued)

Viola		001
Š		=
Cheno- podium		001
Amaran- thus		100
Setaria		001
Digitaria		95
Application rate Digitaria Setaria (g ai./ha)		1000
Active compound of Preparation Ex. No	NHN NH2	(67)

•	2011	2	
٠	֡֜֜֜֜֜֜֜֜֜֜֜֜֜֜֜֜֜֜֜֜֜֡֡	=======================================	
	۲	3	
`		-	
	Y	י	

•			
Viola		001	100
Cheno- podium		001	100
Amaran- thus			100
Echino- Amaran- chloa thus		001	100
Application rate (g ai./ha)		200	200
Active compound of Preparation Ex. No	CH <sub>3</sub> N N N N N N N S S S S S S S S S S S S	(61)  Property of the property	(62)

	_	.7
٠	₹	
	ñ	``
	4	•
	=	3
	-	=
	_	=
	-	-
	-	۰
	_	•
	-	•
	5	כ
	-	٦.
	٠,	,
	`	_
	~	•
- 1	$\simeq$	ď
		2
		_
	_	₹
		3
	_	•
	٠.	•
١	<u> </u>	-

Active compound of Preparation	Application rate Avena	Avena	Setaria	Abuti-	Amaran-	Sinapis
Ex. No	(g ai./ha)	fatua		lon	thus	
ਲੌ			- -			
H <sub>3</sub> C						
CH <sup>3</sup>						
_				·		
(63)	1000	001	06	100	100	100

_	4
٠.	•
- C	נ כ
ž	÷
_	,
_	Ξ
-	-
	7
=	=
	5
-	ς.
٠.	,
•	١
	_
_	-
_	_
_	_
7	_
_	2
ď	ב
ď	ב
ď	ב
ď	ב
ď	ב
ď	ב
ď	ב
_	ב

Active compound of Preparation	Application rate Setaria Abutilon Amaran- Xanthium	Setaria	Abutilon	Amaran-	Xanthium
Ex. No	(g ai./ha)			thus	
CF,					
y Z-					
N N NH	•				
H <sub>3</sub> C <sub>2</sub>					
(18)	1000	100	001	100	100

#### **Patent Claims**

1. Substituted 2-amino-4-alkylamino-1,3,5-triazines of the general formula (I),

$$Z \xrightarrow{NH_{2}} N \xrightarrow{R^{1}} Y$$

$$Z \xrightarrow{N} N \xrightarrow{H} R^{2}$$

$$X \xrightarrow{H} R^{2}$$

5

in which

R<sup>1</sup> represents optionally substituted methyl,

10

R<sup>2</sup> represents hydrogen or alkyl,

15

Y represents in each case optionally substituted benzyl, naphthylmethyl, heterocyclylmethyl or heterocyclyloxy, and

Z represents hydrogen, represents halogen or represents in each case optionally substituted alkyl, alkoxy, alkylcarbonyl, alkoxycarbonyl, alkylthio, alkylsulphinyl, alkylsulphonyl, alkenyl or alkinyl.

- 20 2. Compounds of the formula (I) according to Claim 1, characterized in that
  - R<sup>1</sup> represents optionally halogen-, cyano-, carboxyl-, carbamoyl-, thiò-carbamoyl- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted methyl,
- 25 R<sup>2</sup> represents hydrogen or alkyl having 1 to 3 carbon atoms,

Y represents in each case optionally substituted benzyl, naphthylmethyl, heterocyclylmethyl or heterocyclyloxy,

where the possible heterocyclyl groupings are selected from the group below:

furyl, benzofuryl, dihydrobenzofuryl, tetrahydrofuryl, thienyl, benzothienyl, thiazolyl, benzothiazolyl, oxazolyl, benzoxazolyl, thiadiazolyl, oxadiazolyl, pyrazolyl, pyrrolyl, indolyl, pyridinyl, quinolinyl, isoquinolinyl and pyrimidinyl,

and where the possible substituents are in each case selected from the group below:

hydroxyl, cyano, nitro, halogen, in each case optionally hydroxy-, cyano- or halogen-substituted alkyl or alkoxy having in each case 1 to 6 carbon atoms, in each case optionally halogen-substituted alkylcarbonyl, alkoxycarbonyl, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case 1 to 6 carbon atoms in the alkyl groups, in each case optionally hydroxyl-, cyano-, nitro-, halogen-,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -halogenoalkyl-,  $C_1$ - $C_4$ -alkoxy- or  $C_1$ - $C_4$ -halogenoalkoxy-substituted phenyl or phenoxy, and also in each case optionally halogen-substituted methylenedioxy or ethylenedioxy,

and

Z represents hydrogen, represents halogen, represents in each case optionally hydroxyl-, cyano-, nitro-, halogen-, C<sub>1</sub>-C<sub>4</sub>-alkoxy-, C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyl-, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl-, C<sub>1</sub>-C<sub>4</sub>-alkylsulphinyl- or C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl-substituted alkyl, alkoxy, alkylcarbonyl, alkoxycarbonyl, alkylthio, alkylsulphinyl or alkyl-

10

5

15

20

25

sulphonyl having in each case 1 to 6 carbon atoms in the alkyl groups, or represents optionally halogen-substituted alkenyl or alkinyl having in each case 2 to 6 carbon atoms.

- 5 3. Compounds of the formula (I) according to Claim 1, characterized in that
  - R1 represents optionally fluorine- and/or chlorine-substituted methyl,
  - R<sup>2</sup> represents hydrogen, methyl or ethyl,

10

Y represents in each case optionally substituted benzyl, naphthylmethyl, heterocyclylmethyl or heterocyclyloxy,

where the possible heterocyclyl radicals are selected from the group below:

furyl, benzofuryl, dihydrobenzofuryl, tetrahydrofuryl, thienyl, benzothienyl, thiazolyl, benzothiazolyl, oxazolyl, benzoxazolyl, thiadiazolyl, oxadiazolyl, pyrazolyl, pyrrolyl, indolyl, pyridinyl, quinolinyl, isoquinolinyl and pyrimidinyl,

and where the possible substituents are in each case selected from the group below:

hydroxy, cyano, nitro, fluorine, chlorine, bromine, in each case optionally hydroxyl- cyano-, fluorine- or chlorine-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, methoxy, ethoxy, n- or i-propoxy, n-, i-, s- or t-butoxy, in each case optionally fluorine- or chlorine-substituted acetyl, propionyl, n- or i-butyroyl, methoxy-carbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl, methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, n- or

20

15

25

i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, in each case optionally hydroxyl-, cyano-, nitro-, fluorine-, chlorine-, bromine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-, trifluoromethyl-, methoxy-, ethoxy-, n- or i-propoxy-, n-, i-, s- or t-butoxy-, difluoromethoxy- or trifluoromethoxy-substituted phenyl or phenoxy, and also in each case optionally fluorine- or chlorine-substituted methylenedioxy or ethylenedioxy,

and

10

5

represents hydrogen, represents fluorine, chlorine, bromine, represents in each case optionally hydroxyl-, cyano-. nitro-. fluorine-, chlorine-, methoxy-, ethoxy-, n- or i-propoxy-, n-, i-, s- or t-butoxy-, methylthio-ethylthio-, n- or i-propylthio-, methylsulphinyl-, ethylsulphinyl-, n- or i-propylsulphinyl-, methylsulphonyl-, ethylsulphonyl-, n- or i-propylsulphonyl-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, methoxy, ethoxy, n- or i-propoxy, n-, i-, s- or t-butoxy, methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, or represents in each case optionally fluorine-, chlorine- or bromine-substituted ethenyl, propenyl, butenyl, ethinyl, propinyl or butinyl.

20

25

- 4. Process for preparing compounds of the formula (I) according to Claim 1, characterized in that
  - (a) substituted biguanides of the general formula (II),

5 R<sup>1</sup>, R<sup>2</sup> and Y are each as defined in Claim 1

- and/or acid adducts of compounds of the general formula (II) -

are reacted with alkoxycarbonyl compounds of the general formula (III)

10

20

in which

15 Z is as defined in Claim 1 and

R' represents alkyl,

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

or that

(b) substituted triazines of the general formula (IV)

$$Z \xrightarrow{X^{1}} N \xrightarrow{R^{1}} Y \qquad (IV)$$

 $R^1$ ,  $R^2$ , Y and Z

are each as defined above and

5

 $\mathbf{x}^{\mathbf{1}}$ 

represents halogen or alkoxy

are reacted with ammonia, if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

10

or that

(c) substituted aminotriazines of the general formula (V),

$$\begin{array}{c|c}
NH_2 \\
N & N \\
Z & N & X^2
\end{array}$$
(V)

15

in which

Z is as defined above and

20

X<sup>2</sup> represents halogen or alkoxy

are reacted with substituted alkylamines of the general formula (VI),

$$H_2N \xrightarrow{R^1} Y$$
 (VI)

20

5  $R^1$ ,  $R^2$  and Y are each as defined above,

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

- and, if appropriate, further conversions within the scope of the above definition of substituents are carried out by customary methods on the compounds of the general formula (I) obtained by the processes described under (a), (b) or (c).
- 15 5. Herbicidal compositions, characterized in that they comprise at least one compound of the formula (I) according to Claim 1.
  - 6. The use of compounds of the formula (I) according to Claim 1 for controlling undesirable vegetation.

7. Method for controlling weeds, characterized in that compounds of the formula

(I) according to Claim 1 are allowed to act on weeds or their habitat.

- 8. Process for preparing herbicidal compositions, characterized in that compounds of the formula (I) according to Claim 1 are mixed with extenders and/or surfactants.
  - 9. Substituted triazines of the general formula (IV)

$$Z \xrightarrow{X^{1}} N \xrightarrow{R^{1}} Y \qquad (IV)$$

5  $R^1$ ,  $R^2$ , Y and Z are each as defined in Claim 1 and

X<sup>1</sup> represents halogen or alkoxy.

10. Substituted biguanides of the general formula (II)

10

in which

15 R<sup>1</sup>, R<sup>2</sup> and Y are each as defined in Claim 1,

and acid adducts of compounds of the general formula (II).

### INTERNATIONAL SEARCH REPORT

mal Application No.

PCT/EP 97/05320

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 C07D251/18 C07D251/50 C07D251/52 C07D409/12 C07D401/12 A01N43/68

According to International Patent Classification (IPC) or to both national classification and IPC

#### B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols) IPC 6 CO7D A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP 0 273 328 A (IDEMITSU KOSAN COMPANY LTD) 6 July 1988 cited in the application see claims	1,5
Α	EP 0 411 153 A (IDEMITSU KOSAN COMPANY LTD) 6 February 1991 cited in the application see claims	1,5
P,X	WO 97 08156 A (HOECHST SCHERING AGREVO GMBH) 6 March 1997 cited in the application see page 37-41 - page 44-45; claims	1-3,5,10
ļ	-/	

X Further documents are listed in the continuation of box C.	χ Patent family members are listed in annex.
*Special categories of cited documents:  "A" document defining the general state of the art which is not considered to be of particular relevance.  "E" earlier document but published on or after the international filling date.  "L" document which may throw doubts on priority claim(s) or which is cited to establish the publicationdate of another citation or other special reason (as specified).  "O" document referring to an oral disclosure, use, exhibition or other means.  "P" document published prior to the international filling date but later than the phority date claimed.	To later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention.  "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken atone.  "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.  "&" document member of the same patent tamity.
Date of the actual completion of theinternational search	Date of mailing of the international search report
6 February 1998	16/02/1998
Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentiaan 2 NL – 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31651 epo ni, Fax: (+31-70) 340-3016	Van Bijlen, H

Form PCT/ISA/210 (second sheet) (July 1992)

# INTERNATIONAL SEARCH REPORT

Inter. nal Application No PCT/EP 97/05320

C.(Continu	ation) DOCUMENTS CONSIDERED TO BE RELEVANT	rci/er 9/	7 03320
Category .	Citation of document, with indication, where appropriate, of the relevant passages		Relevant to daim No.
Ρ,Α	WO 97 00254 A (HOECHST SCHERING AGREVO GMBH) 3 January 1997 cited in the application see claims		1,5
	·	· .	
		,	
			·

# INTERNATIONAL SEARCH REPORT

information on patent family members

Interi nal Application No
PCT/EP 97/05320

Patent document	Publication	Patent family	2.45
cited in search report	date	member(s)	Publication date
EP 273328 A	06-07-88	DE 3789294 D	14-04-94
•		DE 3789294 T	16-06-94
		JP 1853764 C	07-07-94
		JP 63264465 A	01-11-88
		US 4844731 A	04-07-89
EP 411153 A	06-02-91	AT 142630 T	15-09-96
		AU 628138 B	10-09-92
		AU 5082790 A	05-09-90
		CA 2027562 A,C	21-08-90
		DE 69028461 D	17-10-96
		DE 69028461 T	06-02-97
		EP 0620220 A	19-10-94
	•	ES 2094150 T	16-01-97
		WO 9009378 A	23-08-90
		JP 7112981 A	02-05-95
		JP 7039400 B	01-05-95
		KR 9401728 B	05-03-94
		LV 10864 B	20-06-96
		RU 2058983 C	27-04-96
		US 5403815 A US 5290754 A	04-04-95
			01-03-94
		LT 640 A,B	27-12-94
WO 9708156 A	06-03-97	DE 19531084 A	27-02-97
		AU 6741896 A	19-03-97
WO 9700254 A	03-01-97	DE 19522137 A	02-01-97
		AU 6301296 A	15-01-97

#### INTERNATIONALER RECHERCHENBERICHT

PCT/EP 97/05320

PCT/EP 97/05320 KLASSIFIZIERUNG DES ANMELDUNGSGEGENSTANDES PK 6 C07D251/18 C07D251/50 IPK 6 C07D251/52 C07D409/12 C070401/12 A01N43/68 Nach der Internationalen Patentklassifikation (IPK) oder nach der nationalen Klassifikation und der IPK B. RECHERCHIERTE GEBIETE Recherchierter Mindestprufstoff (Klassifikationssystem und Klassifikationssymbole) IPK 6 CO7D A01N Recherchierte aber nicht zum Mindestprufstoff gehörende Veröffentlichungen, soweit diese unter die recherchierten Gebiete fallen Wahrend der internationalen Recherche konsultierte elektronische Datenbank (Name der Datenbank, und evtl. verwendele Suchbegriffe) C. ALS WESENTLICH ANGESEHENE UNTERLAGEN Bezeichnung der Veröffentlichung, soweit erforderlich unter Angabe der in Betracht kommenden Teile Betr. Anspruch Nr. EP 0 273 328 A (IDEMITSU KOSAN COMPANY Α 1.5 LTD) 6.Juli 1988 in der Anmeldung erwähnt siehe Ansprüche EP 0 411 153 A (IDEMITSU KOSAN COMPANY Α 1,5 LTD) 6.Februar 1991 in der Anmeldung erwähnt siehe Ansprüche WO 97 08156 A (HOECHST SCHERING AGREVO P,X 1-3,5,10 GMBH) 6.März 1997 in der Anmeldung erwähnt. siehe Seite 37-41 - Seite 44-45; Ansprüche Weitere Veröffentlichungen sind der Fortsetzung von Feld C zu Slehe Annang Patenttamilie entnehmen T\* Spätere Veröffentlichung, die nach deminternationalen Anmeldedatum oder dem Prioritätsdatum veröffentlicht worden ist und mit der Anmeldung nicht kollidiert, sondern nur zum Verständnis des der \* Besondere Kategorien von angegebenen Veröffentlichungen "A" Veröffentlichung, die den aligemeinen Stand der Technik definiert, aber nicht als besonders bedeutsam anzusehen ist Erlindung zugrundellegenden Prinzips oder der Ihr zugrundellegenden Theorie angegeben ist "E" älteres Dokument, das jedoch erst am oder nach dem internationalen Anmeldedatum veröffentlicht worden ist "X" Veröffentlichung von besonderer Bedeutung; die beanspruchte Erfindung kann allein aufgrund dieser Veröffentlichung nicht als neu oder auf erfinderischer Tätigkeit beruhend betrachtet werden "L" Veröffentlichung, die geeignet ist, einen Prioritätsanspruch zweifelhaft er-scheinen zu lassen, oder durch die das Veröffentlichungsdatum einer anderen im Recherchenbericht genannten Veröffentlichung belegt werden Veröffentlichung von besonderer Bedeutung; die beanspruchte Erlindung kann nicht als auf erfinderischer Tätigkeit beruhend betrachtet werden, wenn die Veröffentlichung mit einer oder mehreren anderen Veröffentlichungen deser Kategorie in Veröffentlichungen deser Kategorie in Veröffentlichungen deser Kategorie in Veröffentlichung für einen Fachmann nahellegend ist soll oder die aus einem anderen besonderen Grund angegeben ist (wie ausgeführt) "O" Veröffentlichung, die sich auf eine mündliche Offenbarung, eine Benutzung, eine Ausstellung oder andere Maßnahmen bezieht "P" Veröffentlichung, die vor dem internationalen Anmeldedatum, aber nach "&" Veröffentlichung, die Mitglied derselbenPatentfamilie ist dem beanspruchten Priontätsdatum veröffentlicht worden ist Datum des Abschlusses der internationalen Recherche Absendedatum des internationalen Recherchenberichts 6.Februar 1998 16/02/1998 Name und Postanschnft der Internationalen Recherchenbehorde Bevollmächtigter Bediensteter

2

Fax: (+31-70) 340-3016

Europáisches Patentami, P.B. 5818 Patentiaan 2 NL – 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo ni,

Van Bijlen, H

### INTERNATIONALER RECHERCHENBERICHT

Inter unales Aktenzeichen
PCT/EP 97/05320

	ING) ALS WESENTLICH ANGESEHENE UNTERLAGEN	
Kategorie '	Bezeichnung der Veröffentlichung, soweit erforderlich unter Angabe der in Betracht kommenden Teile	Betr. Anspruch Nr.
P,A	WO 97 00254 A (HOECHST SCHERING AGREVO GMBH) 3.Januar 1997 in der Anmeldung erwähnt siehe Ansprüche	1,5
		, w)

# INTERNATIONALER RECHERCHENBERICHT

Angaben zu Veröffentlichungen, die zur selben Patentfamilie gehören

Inter Tales Aktenzeichen
PCT/EP 97/05320

	<del></del>		
Im Recherchenbericht ngeführtes Patentdokume	Datum der Veröffentlichung	Mitglied(er) der Patentlamilie	Datum der Veröffentlichung
EP 273328 A	06-07-88	DE 3789294 D DE 3789294 T JP 1853764 C JP 63264465 A US 4844731 A	14-04-94 16-06-94 07-07-94 01-11-88 04-07-89
EP 411153 A	06-02-91	AT 142630 T AU 628138 B AU 5082790 A CA 2027562 A,C DE 69028461 D DE 69028461 T EP 0620220 A ES 2094150 T WO 9009378 A JP 7112981 A JP 7039400 B KR 9401728 B LV 10864 B RU 2058983 C US 5403815 A US 5290754 A LT 640 A,B	15-09-96 10-09-92 05-09-90 21-08-90 17-10-96 06-02-97 19-10-94 16-01-97 23-08-90 02-05-95 01-05-95 01-05-95 05-03-94 20-06-96 27-04-96 04-04-95 01-03-94 27-12-94
WO 9708156 A	06-03-97	DE 19531084 A AU 6741896 A	27-02-97 19-03-97
WO 9700254 A	03-01-97	DE 19522137 A AU 6301296 A	02-01-97 15-01-97